L10 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:431390 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 142:477067

TITLE: SiRNA's containing ribose substitutes to which

lipophilic moieties may be attached

INVENTOR(S): Manoharan, Muthiah; Kesavan, Venkitasamy; Rajeev,

Kallanthottathil G.

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 273 pp., Cont.-in-part of Appl.

No. PCT/US04/011829.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

PATENT INFORMATION:

PATENT NO	. к	IND	DATE	ΑF	PPLICATION NO.	DATE
US 200510	7325 A1		20050519	US	2004-916185	20040810
PRIORITY APPLN	. INFO.:		i	US	2003-463772P	20030417
			1	US	2003-465665P	20030425
			1	US	2003-465802P	20030425
			1	US	2003-469612P	20030509
			1	US	2003-493986P	20030808
			1	US	2003-494597P	20030811
			1	US	2003-503414P	20030915
			1	US	2003-506341P	20030926
			1	US	2003-510246P	20031009
			1	US	2003-510318P	20031010
			1	US	2003-518453P	20031107
			1	WO	2004-US7070	20040308
			1	WO	2004-US10586	20040405
			1	WO	2004-US11255	20040409
					2004-US11829	20040416
				-	2004-US11822	20040416
					DOU. COLLUDE	

OTHER SOURCE(S): MARPAT 142:477067

AB The invention relates to iRNA agents, which preferably include a monomer in which the ribose moiety has been replaced by a moiety other than ribose. The inclusion of such a monomer can allow for modulation of a property of the iRNA agent into which it is incorporated, e.g., by using the non-ribose moiety as a point to which a ligand or other entity, e.g., a lipophilic moiety. e.g., cholesterol, is is directly, or indirectly, tethered. The invention also relates to methods of making and using such modified iRNA agents.

IT $\frac{851912-69-1P}{851912-72-6P} = \frac{851912-70-4P}{851912-71-5P}$

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(siRNA's containing ribose substitutes to which lipophilic moieties may be attached)

RN 851912-69-1 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[2-[(2-hexadecyl-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl)oxy]ethoxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

RN 851912-70-4 CAPLUS

CN Carbamic acid, [6-[(2S,4R)-2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-4-hydroxy-1-pyrrolidinyl]-6-oxohexyl]-, 2-[((2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 851912-71-5 CAPLUS

CN Carbamic acid, [6-[(2S,4R)-2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-4[[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]oxy]-1-pyrrolidinyl]-6oxohexyl]-, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 851912-72-6 CAPLUS

CN Butanedioic acid, mono[(3R,5S)-5-[[bis(4-methoxyphenyl)phenylmethoxy]methy 1]-1-[6-[[[2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethoxy]carbonyl]amino]-1-oxohexyl]-3-pyrrolidinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L10 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:618733 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 141:174332

TITLE: Preparation of tocopherols, tocotrienols, other

chroman and side chain derivatives for therapeutic use

in the prevention and treatment of $\underline{\mathbf{cancer}}$

INVENTOR(S): Sanders, Bob G.; Kline, Kimberly; Hurley, Laurence;

Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen

PATENT ASSIGNEE(S):

Research Development Foundation, USA

SOURCE:

U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 404,001.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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į	JS	6770	672			В1		2004							20000	211				
Į	JS	6417	223			В1		US	1999	-4040		19990923								
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V	NO	2001	05888	89		A1		2001	0816	WO 2001-US4168						20010209				
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		RW:											, UG,							
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•			ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ΜI	J, MF	R, NE,	SN,	TD,	TG				
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				•				RO,												
		2004											-5584				0010			
-	-	5207				Α					NZ 2001-520798									
		1529				Α							-8075				0010			
		2263				C2							-1241				0010			
		2002						2002			US	2001	-8066	,		2	0011	105		
		6703				B2		2004												
		2004				A1		2004					8-6444				0030			
		2004				A1		2004	0520				-6952				0031			
PRIOR]	ΙΤΥ	APP.	LN.	INFO	. :								-1015							
													-4040				9990			
													3-1015				9980			
													-5025							
													-US41				0010			
											US	2003	-8066			A3 2	0011	105		

OTHER SOURCE(S): MARPAT 141:174332

AB Chroman derivs., such as I [X = O, S, NR6; Y = O, NR6; R1 = carboxyalkyl, carboxyalkenyl, etc.; R2, R3, R4 = H, Me, alkyl, etc.; R5 = alkyl, alkenyl, etc.; R6 = H, alkyl], were prepared for use in antitumor pharmaceutical compns. for inducing apoptosis in a cell, particularly a cancer cell. Thus, α-tocopherol derivative II was prepared in 88% yield by a reaction of BrCH2CO2Me with (R,R,R)-α-tocopherol using NaOH in DMF. The prepared chromans were assayed for growth inhibitory and apoptotic activity against a variety of human cancer cell lines.

IT $\frac{261929-61-7P}{261929-78-6P} \frac{261929-62-8P}{354526-66-2P} \frac{261929-77-5P}{261929-78-6P}$

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

RN 261929-61-7 CAPLUS

. CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

261929-62-8 CAPLUS

Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-CN [(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy] acetyl]- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

261929-77-5 CAPLUS RN

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

354526-66-2 CAPLUS Acetic acid, [{1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

IT 261929-79-7P 261929-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[((2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-A

RN 261929-84-4 CAPLUS

CN Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

— (CH2)3 CHMe2

354526-64-0P 354526-65-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of

cancer) RN 354526-64-0 CAPLUS

Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12-CN

trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

354526-65-1 CAPLUS Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:511122 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

139:90452

TITLE: INVENTOR(S): Liposomal delivery of vitamin E based compounds Sanders, Bob G.; Kline, Kimberly; Lawson, Karla A.; Menchaca, Marla S.; Knight, J. Vernon; Wellen, Clyde

W.

PATENT ASSIGNEE(S):

Research Development Foundation, USA

SOURCE:

PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.					D :	DATE			APPL	ICAT:		DATE				
WO 2003053407					A1		2003	0703	. 1	WO 2	002-1		20021219				
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		ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
		MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TR,
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	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2470920 AΑ 20030703 CA 2002-2470920 AU 2002361812 A1 20030709 AU 2002-361812 20021219 US 2003236301 A1 20031225 US 2002-325352 20021219 EP 1463487 A1 20041006 EP 2002-797447 20021219 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK CN 1617711 20050518 CN 2002-827914 Α 20021219 JP 2005526705 20050908 JP 2003-554166 T2 20021219 PRIORITY APPLN. INFO.: US 2001-342156P 20011219 US 2002-406807P 20020829 US 2002-418602P Р 20021015 WO 2002-US40846 W 20021219

OTHER SOURCE(S): MARPAT 139:90452

AB The present invention provides a method for treating a cell proliferative disease by delivering a composition comprising a vitamin E based anticancer compound contained within a delivery vesicle of an individual in need of such treatment where the compound is I (R1 is H or a carboxylic acid; R2 and R3 are H or R4; R4 is Me and R5 is alkyl). Also provided is a vesicle comprising these compds. An examples is given for the preparation of 2,5,7,8-tetramethyl-[2R-(4R,8R,12-trimethyltridecyl)chroman-6-yloxy]acetic acid. Pharmacol. examples and liposome formulations are also given.

IT 552855-53-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(liposomal delivery of vitamin E based compds.)

RN 552855-53-5 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:595501 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 137:140656

TITLE: Preparation of tocopherols, tocotrienols, other

chromans and side chain derivs. as potential antiproliferative and proapoptotic agents

INVENTOR(S): Sanders, Bob G.; Kline, Kimberly; Yu, Weiping

PATENT ASSIGNEE(S): Research Development Foundation, USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 502,592. CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: En FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002107207 US 6703384	A1 B2	20020808 20040309	US 2001-8066	20011105
US 6417223 CN 1706838 US 6770672	B1 A B1	20020709 20051214 20040803	US 1999-404001 CN 2005-10003855 US 2000-502592	19990923 19990923 20000211

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US 2002156024
                                  20021024
                                               US 2002-122019
                                                                        20020412
     US 6645998
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                                  20031111
     WO 2003039461
                           A2
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                                  20031113
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2004097431
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                                              US 2003-695275
                                                                        20031028
PRIORITY APPLN. INFO::
                                               US 1998-101542P
                                                                    P 19980923
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                                               CN 1999-812829
                                                                    A3 19990923
                                               US 2001-8066
                                                                    A 20011105
OTHER SOURCE(S):
                         MARPAT 137:140656
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Derivs. of tocopherol, tocotrienol and other chromans of formula I (X and Y independently are oxygen, nitrogen or sulfur; when Y is nitrogen, nitrogen is substituted with R6 and R6 = H or Me; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiol ester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alc., ethers or nitrites; R2, R3 = hydrogen or R4; R4 = Me, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzyl ester, saccharide or amine; and R5 = alkenyl) were prepared as antiproliferative and proapoptotic agents for the potential treatment of cell proliferative diseases. Thus, α-tocopherol was treated with Me bromoacetate and NaOH in N, N-dimethylformamide to give II. II showed effective growth inhibitory properties (apoptotic inducing) in a wide variety of human cancer cell lines, including breast, prostate, cervical, and ovarian cancers with EC50 values ranging from 1-20 μg/mL.

IT $\overline{\frac{261929-61-7P}{261929-78-6P}}$ $\overline{\frac{261929-62-8P}{354526-66-2P}}$ $\overline{\frac{261929-77-5P}{261929-78-6P}}$

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of $\underline{\mathbf{cancer}}$)

RN 261929-61-7 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 261929-62-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

261929-77-5 CAPLUS

2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-CN tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8tetramethyl-, α-methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

354526-66-2 CAPLUS Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

IT 261929-79-7P 261929-84-4P 354526-64-0P 354526-65-1P 444609-57-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of cancer)

261929-79-7 CAPLUS RN

Glycine, N-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-dihydro-2,5,8,12-dihCN oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ме

PAGE 1-B

RN 261929-84-4 CAPLUS

Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN

354526-64-0 CAPLUS Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN

354526-65-1 CAPLUS Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 444609-57-8 CAPLUS

Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyl-CN 3,7,11-tridecatrienyl)-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-A

PAGE 1-B

CMe₂

L10 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:256251 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 136:279341

TITLE: Preparation of benzopyrancarboxylic acid derivatives

for the treatment of diabetes and lipid disorders Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C. INVENTOR(S):

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

PCT Int. Appl., 87 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2002026729
                           A2
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                                                                        20010921
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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2423141
                           AΑ
                                  20020404
                                               CA 2001-2423141
                                                                        20010921
     AU 2001092874
                           Α5
                                  20020408
                                               AU 2001-92874
                                                                        20010921
     EP 1324995
                           A2
                                  20030709
                                               EP 2001-973277
                                                                        20010921
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                              FI, RO, MK, CY, AL, TR
     JP 2004513090
                           Т2
                                  20040430
                                               JP 2002-531113
                                                                        20010921
     US 2002082292
                                  20020627
                                               US 2001-961841
                           Α1
                                                                        20010924
     US 6645997
                                  20031111
                           B2
PRIORITY APPLN. INFO .:
                                               US 2000-235708P
                                                                        20000927
                                               US 2000-244697P
                                                                       20001031
                                                                    P.
                                               WO 2001-US29456
                                                                    W 20010921
```

OTHER SOURCE(S):

MARPAT 136:279341

Title compds. [I; R = H, CH3CH2, CH3(CH2)2; R1 = CH3(CH2)2, C1, F; R2 = H, F, (CH3)2CHCH2, C1, OCH3, CH3SO2; n = 2, 3, 4], pharmaceutically acceptable salts, and stereoisomers are prepared Title compds. I, with effective amount of one or more compds. selected from the group consisting of glitazones, tolbutamide, lovastatin, etc., are potent agonists of PPAR alpha and/or gamma, and are therefore useful in the treatment, control or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions.

T 406488-53-7P 406488-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyrancarboxylic acid derivs. for treatment of diabetes and lipid disorders)

RN 406488-53-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[3-(4-phenoxy-2-propylphenoxy)propoxy]- (9CI) (CA INDEX NAME)

RN 406488-55-9 CAPLUS
CN 2H-1-Benzopyran-2-c

2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[4-(4-phenoxy-2-propylphenoxy)butoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:597976 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

135:166941

TITLE:

Preparation of tocopherols, tocotrienols, other chroman and side chain derivatives that induce cell apoptosis for therapeutic use as antiproliferative

agents

INVENTOR(S):

Sanders, Robert G.; Kline, Kimberly; Hurley, Laurence; Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen

Research Development Foundation, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 120 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA1	TENT	NO.			KIND DATE					ICAT								
1	WO	2001	0588	89		A1 20010816									20010209				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
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			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO;	RU,	
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	
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		5207															0010	209	
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PRIOR	RIORITY APPLN. INFO.:									i	US 2	000-	5025	92 .		A 2	0000	211	
	•									1	US 1	998-	1015	43P		P 1	9980	923	
										1	US 1	999-	4040	01		A2 1	9990	923	
										1	WO 2	001 - 1	US41	68	1	W 2	0010	209	

OTHER SOURCE(S): MARPAT 135:166941

Tocopherol analogs, such as I [X = O, NH, S; Y = O, NH, S; R1 = alkyl,alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide, thiocarboxyl, etc.; R2, R3, R4 = H, Me, benzyl, carboxyl, carboxamide, amine, saccharide; R5 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide], were prepared for pharmaceutical use as antiproliferative agents which induce cell apoptosis for treatment of ${\color{red} {\bf cancers}}$ and diseases involving cell proliferation, such as autoimmune diseases, psoriasis, etc.. Thus, $(R,R,R)-\alpha$ -tocopherol derivative II was prepared in 88% yield by condensation of $(R,R,R)-\alpha$ -tocopherol and BrCH2CO2Me in DMF using NaOH followed by hydrolysis with 5 N HCl. The prepared tocopherol analogs were tested for their ability to induce apoptosis in a number of cancer cell lines, such as breast, cervical, colon, prostate, etc.

354526-64-0P 354526-65-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

RN 354526-64-0 CAPLUS

CN Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 354526-65-1 CAPLUS

CN Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

RN 261929-61-7 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 261929-62-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

261929-77-5 CAPLUS RN

2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

261929-78-6 CAPLUS RN

2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354526-66-2 CAPLUS

Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

261929-79-7P 261929-84-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

RN 261929-79-7 CAPLUS

Glycine, N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-1]] (4R,8R)-4trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

— (CH2)3 CHMe₂

261929-84-4 CAPLUS

Carbamic acid, [3-{[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- (CH₂)3 CHMe2

REFERENCE COUNT: THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

2000:742095 CAPLUS <<LOGINID::20061025>> ACCESSION NUMBER:

DOCUMENT NUMBER: 133:296438

TITLE: Preparation of substituted fused imidazole derivatives

as hypoglycemics

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma,

Hidehito; Fujiwara, Toshihiko Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO. APPLICATION NO. KTND DATE DATE ~-----

WO 2000061582 A1 20001019 WO 2000-JP2217 20000406 W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2000351777 A2 20001219 JP 2000-105985 20000407 PRIORITY APPLN. INFO:: JP 1999-101369 A 19990408 OTHER SOURCE(S): MARPAT 133:296438

Compds. represented by general formula (I) and salts and esters thereof [wherein Rl is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, HO, (un)substituted acyloxy, C1-6 alkoxy, (un)substituted NH2, etc.; R2 is hydrogen, C1-6 alkyl, or (un)substituted C7-16 aralkyl; R4, R4, or R5 is each hydrogen, C1-6 alkyl, or C1-6 alkoxy; R6 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl; Q and Y are each oxygen or sulfur; X is CH2, CO, CH(OR9), or C(:NOR10); wherein R9 or R10 is hydrogen, (un)substituted C1-6 alkyl, C7-16 aralkyl, or acyl; Z is CH or nitrogen; n and q are each 1 to 5; and A is a group represented by general formula Q1, Q2, Q3, or (CH2)m CH(CO2H)-BR7; wherein m is 0 to 8; X1 is oxygen or sulfur; B is oxygen, sulfur, or (un)substituted NH; and R7 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, or haloalkyl] are prepared $\,$ These compds. are useful as insulin resistance improvers, hypoglycemics, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide-formation inhibitors, peroxisome proliferator-activated receptor (PPAR) activators, anti-osteoporosis agents, leukotriene antagonists, promoters of fat cell formation, cancer cell-proliferation inhibitors, or calcium antagonists. They are useful for the prevention or treatment of diabetes, hyperlipidemia, obesity, glucose tolerance insufficiency, hypertension, fatty liver, diabetes complication, arteriosclerosis, gestational diabetes, polycystic ovarian syndrome, cardiovascular diseases, cell damages caused by atherosclerosis or ischemic heart diseases, gout, osteoarthritis, rheumatic arthritis, allergic diseases, asthma, gastrointestinal ulcer, cachexia, autoimmune diseases, cancer, osteoporosis, or cataract. Thus, N-[2-amino-5-(6-methoxymethoxy-2,5,7,8-tetramethylchroman-2ylmethoxy)phenyl]-N-methylcarbamic acid tert-Bu ester was condensed with 4-(2,4-dioxothiazolin-5-ylmethyl)phenoxyacetic acid using di-Et cyanophosphate and Et3N in THF at room temperature for 30 min, followed by treatment of the product with 4 N HCl/dioxane at room temperature for 5 h gave 5-[4-[6-(6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)-1-methyl-1Hbenzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione hydrochloride (II.HCl). When a feed containing 0.01% II.HCl was fed to mice for 3 days, the blood sugar level was lowered by 66.7% compared to control animal.

300666-00-6P 300666-01-7P 300666-02-8P 300666-05-1P 300666-10-8P 300666-13-1P 300666-14-2P 300666-15-3P 300666-16-4P 300666-17-5P 300666-20-0P 300666-21-1P 300666-22-2P 300666-27-7P 300666-28-8P 300666-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted fused imidazole derivs. as therapeutics) 300666-00-6 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H1-benzopyran-2-yl]methoxy]-2-nitrophenyl]methyl-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

RN

CN Carbamic acid, [2-amino-5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 300666-02-8 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[[4-((2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

$$-cH_2 \xrightarrow{S} O$$

RN 300666-05-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[4-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(2,2,2-trifluoroethoxy)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-10-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-α-[[(4-fluorophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-13-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[{(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-14-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-15-3 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[{(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino}-2-oxoethoxy]-<math>\alpha$ -[(4-nitrophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-16-4 CAPLUS

CN Benzenepropanoic acid, α -(4-cyanophenoxy)-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-17-5 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-18-6 CAPLUS

CN Benzenepropanoic acid, $\alpha-[(4-\text{chlorophenyl})\text{thio}]-4-[2-[[4-[[3,4-\text{dihydro-6-(methoxymethoxy})-2,5,7,8-\text{tetramethyl-2H-1-benzopyran-2-yl]methoxy}]-2-[[(1,1-\text{dimethylethoxy})\text{carbonyl]methylamino}] methylamino}]-2-\text{oxoethoxy}]-, ethyl ester (9CI) (CA INDEX NAME)$

$$\begin{array}{c} O & Me \\ He & He \\ Me & He \\$$

PAGE 1-B

RN 300666-19-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-α-[[4-(1,1-dimethylethyl)phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-20-0 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methoxyphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-21-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-22-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A ·

PAGE 1-B

RN 300666-27-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-α-phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-28-8 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(4-nitrophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-31-3 CAPLUS

CN Benzenepropanoic acid, α -[bis(4-fluorophenyl)methoxy]-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

18

2000:725453 CAPLUS <<LOGINID::20061025>> ACCESSION NUMBER:

DOCUMENT NUMBER: 133:291091

TITLE: Antitumor activity of vitamin E, cholesterol, taxol

> and betulinic acid derivatives Fariss, Marc; Smith, J. Doyle

PATENT ASSIGNEE(S): Washington State University Research Foundation, USA;

Virginia Commonwealth University

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.					KIN	D	DATE		APPL	ICAT		DATE					
											- -						
WO	2000059492 A						2000	1012	1	WO 2	000-		20000407				
WO	WO 2000059492				АЗ		2002	0124									
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		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
		ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
		ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM		•				
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK.	ES.	FI.	FR.	GB.	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	BF.	ВJ.	CF.

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2366807 AA 20001012 CA 2000-2366807 20000407 EP 1189607 A2 20020327 EP 2000-923141 20000407 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

US 1999-128047P P 19990407 WO 2000-US9141 W 20000407

The present invention provides methods for the use of derivs. of Vitamin ${\tt E}$ (tocopherol and tocotrienol), cholesterol, taxol and betulinic acid as antitumor agents for the treatment of and prevention of cancers of the liver, lung, colon, prostate and breast as well as melanomas and leukemias.

300655-66-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor activity of vitamin E, cholesterol, taxol and betulinic acid derivs.)

RN 300655-66-7 CAPLUS

Ethanamine, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300655-69-0 300655-70-3 300655-94-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor activity of vitamin E, cholesterol, taxol and betulinic acid derivs.)

300655-69-0 CAPLUS

Ethanamine, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N-dimethyl-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 300655-66-7 CMF C33 H59 N O2

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 300655-70-3 CAPLUS

CN Ethanaminium, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• т-

PAGE 1-B

─CHMe2

RN 300655-94-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[4-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-1-oxobutyl]- ω -hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-B

L10 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: 132:237223

TITLE: Preparation of tocopherols, tocotrienols, other

chroman and side chain derivatives for use as antitumor agents and for inducing cell apoptosis Kline, Kimberly; Sanders, Bob G.; Hurley, Laurence;

Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen Research Development Foundation, USA PATENT ASSIGNEE(S):

SOURCE:

INVENTOR(S):

PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

									APPLICATION NO.													
											WO 1999-US21778											
		W:	ΑE,	AL,	AM,	ΑT,	ΑU,	AZ,	BB,	BG	, BF	₹ , В	Υ,	CA,	CH,	ÇN	Ι,	CU,	CZ,			
			DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH	, GN	1, H	Ŕ,	HU,	ID,	IL	,	IN,	IS,		
*			JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	ĹR	, LS	, L	Τ,	LU,	LV,	MD),	MG,	MK,		
			MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU	, SI), S	Ε,	SG,	SI,	SK	,	SL,	ТJ,		
			TM,	TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZA	, · ZV	1									
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	ΤZ	, UC	, Z1	W,	AT,	BE,	CH	,	CY,	DE,		
			DK,	ES,	ΕI,	FR,	GB,	GR,	ΙE,	ΙT,	LU	, MC	, N	L,	PT,	SE,	BF	`,	ΒJ,	CF,		
			CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE	, SN	, T	D,	TG							
		2345																19	990	923		
		9961									AU	1999	-61	553	3			19990923				
		7570																				
	ΕP	1115																				
		R:						ES,	FR,	GB,	GR	, II	', L	Ι,	LU,	ΝĹ,	SE	,	MC,	PT,		
						LV,	,															
		1325				Α		2001														
		2002						2002														
		5107						2004			-				_							
		2232				C2		2004											9909			
		1706				Α		2005														
		1420				A1		2005											9909			
		5926				_		2004														
	ZA 2001002057							2002	0319			2001		_					0103			
PRIOF	RIT	Y APP	LN.	INFO	.:																	
															29				9909			
											WO	1999	-US	217	778	1	M	19	9909	923		

OTHER SOURCE(S): MARPAT 132:237223

Chromans I [R1 = alkyl, alkenyl, alkynyl, aryl, herteroaryl, carboxyl, carboxamide, thioamide, saccharide, amine, sulfate, phosphate, etc.; R2, R3, R4 = H, Me, benzylcarboxylate, saccharide, amino, etc.; R5 = alkyl, alkenyl, alkynyl, aryl, herteroaryl, carboxyl, carboxamide; X = 0, NH, S] were prepared for pharmaceutical use as antitumor agents and cell apoptosis inducing agents. Thus, tocopherol derivative II (R1 = CH2CO2H, X = O) was prepared in 80% yield via O-alkylation of (+)- α -tocopherol with Me bromoacetate. The prepared chromans were tested for cell apoptosis activity against a variety of **cancer** cell lines.

IT $\frac{261929-61-7P}{261929-78-6P} \frac{261929-62-8P}{261929-77-5P}$

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis) 261929-61-7 CAPLUS

RN 261929-61-7 CAPLUS
CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-l-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 261929-62-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-77-5 CAPLUS

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 261929-79-7P 261929-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[((2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-84-4 CAPLUS

CN Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry}.$

PAGE 1-B

— (CH2)3 CHMe₂

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:462523 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 125:114896

TITLE: 3,4-dihydro-2,5,7,8-tetramethyl-benzopyran-6-ol

derivatives for use as drugs

INVENTOR(S): Gotteland, Jean-Pierre; Gotteland, Jean-pierre;

Delhon, Andre; Junquero, Didier; Oms, Philippe Pierre Fabre Medicament, Fr.

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 47 pp.

CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE:

French FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAS	KIND D		DATE	DATE		PLICAT		DATE								
						-										
WO	O 9616957 W: AU, CA, JP,				A1		1996	0606	WO	1995-		1995112				
	W:	ΑU,	CA,	JP,	NΖ,	US										
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, IE,	IT,	LU,	MC,	NL	, PT,	SE
FR	2727	414			A1		1996	0531	FR	1994-	14142	2			19941	125
FR	2727	414			В1		1997	0214								
AU	9642	640			A1		1996	0619	AU	1996-	42640)			19951	123
PRIORIT	APP	LN.	INFO	.:					FR	1994-	14142	2		A	19941	125
									. WO	1995-	FR154	17		W	19951	123

OTHER SOURCE(S):

MARPAT 125:114896

Compds. of general formula I, wherein n=1-10, R=CH2OR1, CONR1R2, CH2NR1R2 or Ar1, in which R1, R2 are identical or different each representing H, linear or branched alkyl of 1-20 carbons, saturated or containing double or triple bonds and possibly substituted with Ph, pyridine, or benzopyran derivative, halogen, alkoxy, alkylamine, ether, thioether, or silane groups, salts, hydrates, solvates and therapeutically acceptable prodrugs thereof, as well as racemic forms and enantiomers thereof, are disclosed. A method for preparing the compds., and pharmaceutical compns. containing said compds. as the active principle for treating and/or preventing acute or chronic inflammatory diseases, are also disclosed. I (R = Ph, n= 1) was prepared via O-benzylation of II with PhCH2Br in DMF containing NaH. The antioxidant behavior of several I in human LDL endothelial cells were obtained with an IC50 (μM) range of 0.03 - 0.3 compared to 15 for vitamin E.

179188-24-0P 179188-36-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrotetramethylbenzopyranol derivs. for use as drugs)

RN 179188-24-0 CAPLUS

1-0xa-3,8-diazaspiro[4.5]decan-2-one, 8-[[3-[[[3,4-dihydro-2,5,7,8-CN

tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2yl]methoxy]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2-\text{O}-\text{CH}_2 \\ \text{CH}_2-\text{O}-\text{CH}_2 \\ \text{Me} \\ \end{array}$$

PAGE 1-B

$$-N$$

RN 179188-36-4 CAPLUS

CN 1-Oxa-3,8-diazaspiro[4.5]decan-2-one, 8-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-N$$
 NH

179188-49-9P 179188-51-3P 179188-52-4P 179188-53-5P 179188-54-6P 179188-55-7P

179188-56-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydrotetramethylbenzopyranol derivs. for use as drugs) RN 179188-43-3 CAPLUS

CN Silane, [2-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-[[[3-[[(4-methyl-3-pentenyl)oxy]methyl]phenyl]methoxy]methyl]-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Si-CH}_2-\text{CH}_2-\text{O-CH}_2-\text{O} \\ \text{Me} \\ \end{array}$$

PAGE 1-B

- O- CH $_2-$ CH $_2-$ CH= CMe $_2$

179188-44-4 CAPLUS Acetic acid, [[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-CN (trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

179188-45-5 CAPLUS RN

CN Ethanol, 2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 179188-46-6 CAPLUS

Acetamide, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-N-(3,7dimethyl-2,6-octadienyl)-2,2,2-trifluoro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-47-7 CAPLUS

Double bond geometry as shown.

PAGE 1-B

RN 179188-48-8 CAPLUS

CN Acetamide, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-N-(3,7-dimethyl-2,6-octadienyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-49-9 CAPLUS

N 2,6-Octadien-1-amine, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-Nethyl-3,7-dimethyl-, (E)- (9CI) (CA INDEX NAME) Double bond geometry as shown.

PAGE 1-B

RN 179188-51-3 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 179188-52-4 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]- (9CI) (CA INDEX NAME)

RN 179188-53-5 CAPLUS

CN Silane, [2-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-[(phenylmethoxy)methyl]-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Si-CH}_2\text{-}\text{CH}_2\text{-}\text{O-CH}_2\text{-}\text{Ph} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 179188-54-6 CAPLUS

CN Silane, [2-[[[2-[[[3-(bromomethyl)phenyl]methoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl-

(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{CH}_2 = \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

RN 179188-55-7 CAPLUS

CN Silane, [1,3-phenylenebis[methyleneoxymethylene(3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2,6-diyl)oxymethyleneoxy-2,1-ethanediyl]]bis[trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \end{array}$$

PAGE 1-B

RN 179188-56-8 CAPLUS

CN Benzenemethanamine, 3-[[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]methyl]-N,N-diethyl-(9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{Me} \end{array}$$

PAGE 1-B

L11 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:376667 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 145:83067

TITLE: NO-Donor Phenols: A New Class of Products Endowed with

Antioxidant and Vasodilator Properties

AUTHOR(S): Boschi, Donatella; Tron, Gian Cesare; Lazzarato,

Loretta; Chegaev, Konstantin; Cena, Clara; Di Stilo, Antonella; Giorgis, Marta; Bertinaria, Massimo;

Fruttero, Roberta; Gasco, Alberto

CORPORATE SOURCE: Dipartimento di Scienza e Tecnologia del Farmaco,

Universita degli Studi di Torino, Turin, 10125, Italy

SOURCE: Journal of Medicinal Chemistry (2006), 49(10),

2886-2897

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB The synthesis and study of the antioxidant and vasodilator properties of a new class of phenols able to release nitric oxide are described. The products were designed through a symbiotic approach using selected phenols and selected nitrooxy and furoxan NO-donors as reference models. The antioxidant activities of the hybrid products were assessed by detecting the 2-thiobarbituric acid reactive substances (TBARS) produced in the ferrous salt/ascorbate-induced autoxidn. of lipids present in microsomal membranes of rat hepatocytes. The vasodilator activity was assessed on rat aortic strips pre-treated with phenylephrine. Some of the products behave principally as vasodilators and others as antioxidants and the two properties are relatively balanced in several compds. Further in vivo studies should clarify whether some of these products may become preclin.

candidates for the treatment of cardiovascular disease under-pinned by

IT 820976-57-6P 820976-58-7P 820976-63-4P 820976-64-5P 820976-65-6P 893403-72-0P 893403-98-0P 893403-96-8P 893403-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nitric oxide-donor phenol derivs. and study of their activity as antioxidants and vasodilators)

RN 820976-57-6 CAPLUS

atheroma.

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 820976-58-7 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy)2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 820976-63-4 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-

tetramethyl-2-[(2-propenyloxy)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2\text{-}\text{O-}\text{CH}_2\text{-}\text{CH-}\text{CH}_2 \\ \text{Me} \\ \end{array}$$

RN

820976-64-5 CAPLUS 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-CN tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

RN

820976-65-6 CAPLUS 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-CN tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

PAGE 1-B

__ Me

RN 893403-72-0: CAPLUS

1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, nitrate (9CI) (CA INDEX NAME)

RN 893403-91-3 CAPLUS

CN 1,2,5-Oxadiazole, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]-4-(phenylsulfonyl)-, 5-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{O} \\ \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \text{O} \\ \text{Ne} \\ \text{O} \\$$

RN 893403-96-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]N,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

RN 893403-97-9 CAPLUS

CN 2H-1-Benzopyran-2-methanamine, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]N,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{CH}_2-\text{O-CH}_2-\text{O} \\ \text{Me} \\ \end{array}$$

RN 893403-98-0 CAPLUS

CN 1,2,5-Oxadiazole-3-carboxamide, 4-[[[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methyl]methylamino]methyl]-, 2-oxide (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

32

ACCESSION NUMBER: 2006:76829 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 144:156918

TITLE: Preparation of vitamin E derivatives for inhibiting

reactive oxygen and carbonyl species

INVENTOR(S): Hai, Ton That; Nordhaus, Mark; Sanders, Paul; Jiang,

Cong; Karoor, Sujatha; Melnick, Ben; Martis, Leo USA

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	rent				KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
US	2006 2006	0167	52		A1 A1		2006 2006			 US 2 WO 2					2	 0040 0050	726
	W:	CN, GE, LC, NG, SL,	CO, GH, LK, NI,	CR, GM, LR, NO, SY,	CU, HR, LS, NZ,	CZ, HU, LT, OM,	AU, DE, ID, LU, PG, TN,	DK, IL, LV, PH,	DM, IN, MA, PL,	DZ, IS, MD, PT,	EC, JP, MG, RO,	EE, KE, MK, RU,	EG, KG, MN, SC,	ES, KM, MW, SD,	FI, KP, MX, SE,	GB, KR, MZ, SG,	GD, KZ, NA, SK,
	RW:	AT, IS, CG, KE,	BE, IT, CI, LS,	BG, LT, CM,	LU, GA, MZ,	MC, GN, NA,	CZ, NL, GQ, SD,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	ВJ, GH,	CF, GM,

PRIORITY APPLN. INFO.:

US 2004-899194 A 20040726

AB Vitamin E derivs. are prepared such that they can display both antioxidant and carbonyl trapping properties. This can effectively reduce inflammation, oxidative stress and carbonyl stress, such as to prevent and/or treat cardiovascular disease and inflammatory diseases in kidney disease patients. E.g., I and three other vitamin E derivs. were prepared and tested for antioxidant and carbonyl trapping properties.

IT <u>874114-81-5P</u> <u>874114-82-6P</u> <u>874114-83-7P</u> <u>874114-84-8P</u> <u>874114-88-2P</u>

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of vitamin E derivs. for inhibiting reactive oxygen and carbonyl species)

RN 874114-81-5 CAPLUS

CN Piperazine, 1-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1benzopyran-2-yl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 874114-82-6 CAPLUS

CN Piperazine, 1-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]acetyl]-4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 874114-83-7 CAPLUS

CN Piperazine, 1-[(aminooxy)acetyl]-4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

● HCl

874114-84-8 CAPLUS RN

Carbamic acid, [(1R)-2-[4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]ethyl]-1-piperazinyl]-2-oxo-1-[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) INDEX NAME)

Absolute stereochemistry.

RN 874114-88-2 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-]]]tetramethyl-2H-1-benzopyran-2-yl]ethyl]-1-piperazinyl]carbonyl]-2-methyl-2-[(triphenylmethyl)thio]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:158624 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

142:261303

TITLE:

Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor

HM74A

INVENTOR(S): Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag

Paul; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Rahman, Shahzad Sharooq; Smith, Ian Edward David PATENT ASSIGNEE(S):

Smithkline Beecham Corporation, USA

SOURCE:

PCT Int. Appl., 41 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE			APPL					. D	ATE	
	2005 2005														2	0040	813
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜŻ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG													
EΡ	1689	699			A2		2006	0816		EP 2	004-	7680	77		2	0040	813
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
RIORIT	Y APP	LN.	INFO	.:						GB 2	003-	1912	6	2	A 2	00308	314
									1	WO 2	004-0	GB35.	16	Ţ	W 2	00408	313
DITED CO	CHECE	101.			CACI	מממ	CD 14	2.20	1202		2020	1 40	001	202			

OTHER SOURCE(S):

CASREACT 142:261303; MARPAT 142:261303

Therapeutically active anthranilic acid derivs. I [Rl = H, halo, alkyl; R2 = 9-10 membered (un)saturated bicyclic ring system optionally including from 1 to 3 heteroatoms selected from S, O and N; Z = (CH2)n, CH:CH(CH2)m, O, etc.; n = 2-4; m = 0-2], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Thus, reacting 3-(naphthalen-1-yl)propionic acid with 2-aminobenzoic acid in the presence of HBTU and Et3N in MeCN afforded I [R1 = H; R2 = 1-naphthyl; Z = (CH2)2]. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

IT 845829-85-8P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the nicotinic acid receptor HM74A for treating lipid metabolic diseases) 845829-85-8 CAPLUS

CN Benzoic acid, 2-[[(3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-6yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

L11 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:34585 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 142:120546

TITLE: Propofol formulations with non-reactive container

closures

INVENTOR(S): Desai, Neil P.; Yang, Andrew; Ci, Sherry Xiaopei

PATENT ASSIGNEE(S): American Bioscience, Inc., USA SOURCE: U.S. Pat. Appl. Publ., 18 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
US	2005	0097	 31		A1	_	2005	0113		US 2	 003-	 6167	 09		2	0030	
WO	2005	0071	31		A2		2005	0127		WO 2	004-	US20	923		2	0040	629
WO	2005	0071	31		АЗ		2005	0922									
	W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΗŲ,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	·TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ŻA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SNI	תיים	ጥር													

SN, TD, TG PRIORITY APPLN. INFO.:

US 2003-616709 A 20030710

AB A sterile pharmaceutical composition for parenteral administration of propofol, said composition comprising propofol, optionally albumin, and less than about 10% by weight solvent for propofol, wherein said composition is stored in a container having a closure wherein said closure is inert to propofol. Formulations comprise propofol, soybean oil, egg lecithins, glycerin, NaOH, and water.

IT **823782-77-0**

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(propofol formulations with non-reactive container closures)

RN 823782-77-0 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(1-oxooctadecyl)- ω -[(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

Me
$$(CH_2)_{16}$$
 C CH_2 CH_2

PAGE 1-B

L11 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:967761 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 142:113978

TITLE: Development of a new class of potential

antiatherosclerosis agents: NO-donor antioxidants
AUTHOR(S): Cena, Clara; Boschi, Donatella; Tron, Gian Cesare;

Cena, Clara; Boschi, Donatella; Tron, Gian Cesare; Chegaev, Kostantin; Lazzarato, Loretta; Di Stilo, Antonella; Aragno, Manuela; Fruttero, Roberta; Gasco,

Alberto

CORPORATE SOURCE: Dipartimento di Scienza e Tecnologia del Farmaco,

Turin, I-10125, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(24), 5971-5974

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 142:113978

A new class of NO-donor phenol derivs., e.g. I, is described. The products were obtained by joining appropriate phenols with either nitrooxy or 3-phenylsulfonylfuroxan-4-yloxy moieties. All the compds. proved to inhibit the ferrous salt/ascorbate induced lipidic peroxidn. of membrane lipids of rat hepatocytes. They were also capable of dilating rat aorta strips precontracted with phenylephrine.

820976-57-6P 820976-58-7P 820976-63-4P 820976-64-5P ΤT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(development of a new class of potential NO-donor antioxidants as antiatherosclerosis agents)

820976-57-6 CAPLUS RN

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-[(2methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-, ethyl ester (9CI) (CA INDEX

820976-58-7 CAPLUS

2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-CN 2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{CH}_2 - \text{OH}_2 - \text{OH}_2 - \text{OH}_2 \\ \text{Me} \\ \end{array}$$

RN 820976-63-4 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8tetramethyl-2-[(2-propenyloxy)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{Me} \\ \text{Me} \\ \end{array}$$

RN 820976-64-5 CAPLUS

1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-CN tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 Me $CH_2-O-(CH_2)_3-OH$ $MeO-CH_2-CH_2-O-CH_2-O$

RN 820976-65-6 CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2\text{-}\text{O-}(\text{CH}_2)_3\text{-}\text{O-} \\ \text{Me} \\ \\ \text{Me} \\ \end{array}$$

PAGE 1-B

__ Me

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:873825 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

141:350039

TITLE: INVENTOR(S):

Preparation of chromans and their use as drugs Fujita, Takeshi; Oguchi, Minoru; Wada, Kunio;

Fujiwara, Toshihiko; Ogawa, Junko; Kurakata, Shinichi; Inaoka, Yoshinori; Aratsu, Yoichi; Onosawa, Yoshiko

PATENT ASSIGNEE(S):

SOURCE:

Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE: , Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004292331	A2	20041021	JP 2003-84624	20030326
PRIORITY APPLN. INFO.:			JP 2003-84624	20030326
OTHER SOURCE(S):	MARPAT	141:350039		

AB Chromans I [R1 = OH, C1-6 aliphatic acyloxy, nicotinoyloxy; A = CO, CH2, CHOH, etc.; B = CH2, CHOH; AB may be CH:CH; X = O, SOn; n = O-2; Ar = benzene, pyridin, biphenylene ring; Q = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy; R2 = H, C1-6 alkyl; Y = CO, SO2; R3 = C1-6 (halo)alkyl], their pharmacol. acceptable salts, or esters are prepared The chromans inhibit peroxylipid formation, 5-lipoxygenase, leucotrienes, and cytokines, and show antidiabetic, Ca-blocking, and nerve cell-protecting activities. Thus, amidation of 4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)aniline with MeSO2Cl in pyridine gave I (R1 = AcO, R2, Q = H, R3 = Me, AB = CH2CH2, X = O, Ar = 1,4-C6H4, Y = SO2), which lowered blood sugar level by 20.1% in diabetic mice.

IT <u>776334-21-5</u>

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of chromans as antidiabetic agents and nerve cell-protecting agents)

RN 776334-21-5 CAPLUS

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{NH} \\ \text{S} \\ \text{Me} \\ \text{O} \\ \text$$

L11 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:369126 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 141:106341

TITLE: (2R)-2-Ethylchromane-2-carboxylic Acids: Discovery of

Novel PPAR $lpha/\gamma$ Dual Agonists as

Antihyperglycemic and Hypolipidemic Agents

AUTHOR(S): Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.; Jones, A. Brian; Berger, Joel P.;

MacNaul, Karen L.; Kelly, Linda J.; Doebber, Thomas W.; Wu, Margaret S.; Zhou, Gaochao; Wang, Pei~Ran; Ippolito, Marc C.; Chao, Yu-Sheng; Agrawal, Arun K.; Franklin, Ronald; Heck, James V.; Wright, Samuel D.;

Moller, David E.; Sahoo, Soumya P.

CORPORATE SOURCE: Departments of Medicinal Chemistry, Metabolic

Disorders Atherosclerosis and Endocrinology and Drug Metabolism, Merck Research Laboratories, Rahway, NJ,

07065-0900, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(12),

. 3255-3263

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER: America:
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:106341

AB A series of chromane-2-carboxylic acid derivs. was synthesized and evaluated for PPAR agonist activities. A structure-activity relationship was developed toward PPAR α/γ dual agonism. As a result, (2R)-7-{3-[2-chloro-4-(4-fluorophenoxy)phenoxy]propoxy}-2-ethylchromane-2-carboxylic acid (I) was identified as a potent, structurally novel, selective PPAR α/γ dual agonist. I exhibited substantial antihyperglycemic and hypolipidemic activities when orally administered in three different animal models: the db/db mouse type 2 diabetes model, a Syrian hamster lipid model, and a dog lipid model.

IT 406488-53-7P 406488-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of chromane-2-carboxylic acid derivs. as $\text{PPAR}\alpha/\gamma$ dual agonists)

RN 406488-53-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[3-(4-phenoxy-2propylphenoxy)propoxy]- (9CI) (CA INDEX NAME)

RN 406488-55-9 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[4-(4-phenoxy-2-propylphenoxy)butoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:94564 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 141:923

TITLE: Studies on some glitazones having pyridine as the

linker unit

AUTHOR(S): Ramachandran, Uma; Mital, Alka; Bharatam, Prasad V.;

Khanna, Smriti; Rao, Poduri Rama; Srinivasan,

Krishnamoorthy; Kumar, Rakesh; Chawla, Harmander Pal

Singh; Lal Kaul, Chaman; Raichur, Suryaprakash;

Chakrabarti, Ranjan

CORPORATE SOURCE: Department of Pharmaceutical Technology, National

Institute of Pharmaceutical Education and Research

(NIPER), S.A.S. Nagar, 160 062, India

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(4),

655-662

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:923

AB Mol. modeling on various well-known glitazones carrying a pyridine ring instead of benzene ring as the middle linker unit showed conformational rigidity as compared to their parent mols. Blocking the lone pair of electrons on the pyridine N, made them flexible once again. A few representatives of these analogs were synthesized and their efficacy as PPARy agonists evaluated.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(glitazones having pyridine as the linker unit, their preparation and PPAR γ agonist activity)

RN 695171-56-3 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[{3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl}methoxy]- (9CI) (CA INDEX NAME)

RN 695171-57-4 CAPLUS

CN 3-Pyridinecarboxaldehyde, 6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{N} \end{array}$$

695171-58-5 CAPLUS RN

CN 2,4-Thiazolidinedione, 5-[[6-[(3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-pyridinyl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

RN 695171-59-6 CAPLUS

2,4-Thiazolidinedione, 5-[[6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:565648 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

139:122856

TITLE:

Antioxidants for pharmaceutical and cosmetic use based

on vitamin-(poly)phenol esters and method for

preparation

INVENTOR(S):

Oppenlaender, Knut

PATENT ASSIGNEE(S):

Germany

SOURCE:

Ger. Offen., 4 pp.

CODEN: GWXXBX Patent

DOCUMENT TYPE:

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: PATENT NO.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 10201223	A1	20030724	DE 2002-10201223	20020115
PRIO	RITY APPLN. INFO.:			DE 2002-10201223	20020115
ÆΒ	The invention conce	rns the	esterificat	ion of vitamins A, E, o	r C with
	(poly)phenols to ob	tain an	tioxidants t	hat can be used in cosm	etic and
	pharmaceutical prod	ucts.	Phenols with	more than two OH group	s, o- and
	p-quinones, flavone	s, flav	onoids, cate	chins, quercetin, antho	cyane,
	anthocyanidine and	natural	tannins are	used as polyphenols.	As
	monophenols α-tocop	herol a	nd 2,6-di-te	rt.butyl-p-cresole are	
	preferred. A typic	al synt	hesis includ	es the formation of	
	mono-Na-phenolate f	rom the	polyphenol,	followed by reaction w	ith
				enoxy-acetic acid is es	
				acidic catalytic react	
IT	564483-91-6P		-	-	

RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antioxidants for pharmaceutical and cosmetic use based on vitamin-(poly)phenol esters and method for preparation)

564483-91-6 CAPLUS RN

L-Ascorbic acid, 6-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-1]]4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:144942 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

139:341528

TITLE: AUTHOR(S): Structural studies on the impurities of troglitazone Moses Babu, J.; Nageshwar, D.; Ravindra Kumar, Y.; Prabhakar, C.; Sarma, M. R.; Om Reddy, G.; Vyas, K.

CORPORATE SOURCE:

Department of Analytical Research, Discovery Research Division, Dr. Reddy's Laboratories Ltd., Hyderabad,

500050, India

SOURCE:

Journal of Pharmaceutical and Biomedical Analysis

(2003), 31(2), 271-281

CODEN: JPBADA; ISSN: 0731-7085

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

Enalish

The impurity profile study of troglitazone has been carried out primarily by (liquid chromatog.-mass spectrometry) LC-MS. Four process-related impurities have been detected by LC-MS and were confirmed by co-injection with authentic samples. Apart from the process-related impurities, two polar byproducts were characterized by mass spectral data and comparison with reference samples, while one non-polar byproduct and one degradation product have been isolated by means of preparative HPLC and characterized by 2D NMR and mass spectral study. Single-crystal X-ray diffraction studies have been carried out on the degradation product. The formation and characterization of these byproducts and degradation product are discussed.

218768-48-0P 616883-65-9P 616883-66-0P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structural studies on the impurities of troglitazone)

218768-48-0 CAPLUS

2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-, methanesulfonate (9CI) (CA INDEX NAME)

616883-65-9 CAPLUS RN

Benzaldehyde, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-СИ benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

616883-66-0 CAPLUS RN

2,4-Thiazolidinedione, 5-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-CN tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} \\ \text{O} \\ \text{N} \\ \text{H} \\ \end{array}$$

8

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:754350 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:263203

TITLE:

Preparation of carboxybenzopyran derivatives as

surfactants

INVENTOR(S): PATENT ASSIGNEE(S): Lambert, Karel J.; Lal, Manjari; Kaufman, Robert J. Sonus Pharmaceuticals, Inc., USA

PCT Int. Appl., 57 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	NO.			KIN	D	DATE			APPL:	ICAT:	I NO I	NO.		D	ATE	
٠	WO 2002 WO 2002				A2 A3		2002 2003	1003 0306	1	WO 2	002-	JS11	266		21	0020	321
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
										EC,		-					
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
		ТJ,	TM														
	RW:	GH,															-
		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	RITY APP									US 2	001-	2784	60P		P 20	0010	323
OTHE	R SOURCE																
AB	Benzopy				-									_			-
	OH; R2																
	phytyl,																
	pharmac									•					•		or
	micella							•				-		_			
	p-tolue									yl a	ceti	c ac	id e	ther	. Tl	ne	
	surface							4									
IT	463331-	13-7	P 46	3331	-15-	9P 4	6333	1-17	- <u>1 P</u>								

PAGE 1-A

PAGE 1-B

RN 463331-15-9 CAPLUS

CN L-Glutamic acid, N-[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-L- α -glutamyl-L- α -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 463331-17-1 CAPLUS

CN L-Glutamic acid, N-[[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 463331-20-6P 463331-28-4P 463331-31-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxybenzopyran derivs. as surfactants)

463331-20-6 CAPLUS Acetic acid, [[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463331-28-4 CAPLUS

Poly[imino[(2S)-1-oxo-2-[3-oxo-3-(phenylmethoxy)propyl]-1,2-ethanediyl]], α -[(1S)-1-carboxy-4-oxo-4-(phenylmethoxy)butyl]- ω -[[[[(2R)-3,4dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-B

463331-31-9 CAPLUS RN

L-Glutamic acid, N-[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-k]]trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-, 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

8-

L11 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:728847 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:257628

TITLE: INVENTOR(S): Antitumor agents containing novel chroman derivatives

Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S):

Sankyo Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 101 pp.

CODEN: JKXXAF

DOCUMENT TYPE: LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275064	A2	20020925	JP 2002-5560	20020115
PRIORITY APPLN. INFO.:	A2	20020323	JP 2001-6574	A 20010115
OTHER SOURCE(S):	MARPAT	137:257628		
-			. I (R1 = H, C1-6 alk	<u>- · · · · · · · · · · · · · · · · · · ·</u>
			H, C1-6 alkyl, etc.;	3
· · · · · · · · · · · · · · · · · · ·			1-6 alkyl, C2-6 alken	4 .
· · · · · · · · · · · · · · · · · · ·			H, nitro, OH, etc.;	
	٠.		benzene ring, etc.) a	
		•	-[4-(6-acetoxy-4-oxo-]ethyl]-nicotinamide	
_	-		capsule containing N-	
		•]-nicotinamide 100 mg	

321920-41-6P 321920-58-5P 321920-65-4P 321920-95-0P 461659-11-0P 461659-14-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chroman derivs. as antitumor agents)

RN 321920-41-6 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-2-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{CH}_2 \\ \text{CF}_3 \\ \end{array}$$

RN 321920-58-5 CAPLUS

CN 2H-1-Benzopyran, 2-[(2-chloro-4-nitrophenoxy)methyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 321920-65-4 CAPLUS

CN Benzenamine, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 321920-95-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-3-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321921-17-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{Me} & \text{O} \\$$

RN 461659-02-9 CAPLUS

CN 2-Pyridinemethanol, 6-(cyclopentyloxy)-α-[3,4-dihydro-6 (methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-5-nitro- (9CI)
 (CA INDEX NAME)

RN 461659-11-0 CAPLUS

CN Carbamic acid, [4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \end{array}$$

RN 461659-14-3 CAPLUS

CN Carbamic acid, [4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:286703 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 136:309930

TITLE: Preparation of benzimidazole derivatives for treatment

and prevention of diabetes

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Koguchi, Minoru; Honma,

Eiji; Fujiwara, Toshihiko

PATENT ASSIGNEE(S):

Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 135 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114781	A2	20020416	JP 2000-307157	20001006
PRIORITY APPLN. INFO.:			JP 2000-307157	20001006
ORLIED COLLDON (C)	MADDAM	126 200020		

OTHER SOURCE(S):

MARPAT 136:309930

The title compds. I [R1 - R6 = H, alkyl, etc.; n, q = 1 - 5; Q, Y = O, S; X = CH2, etc.; Z = CH, N; A = (CH2)mCH(CO2H)BR7, etc.; B = O, etc.; R7 = H, alkyl, etc.; m = O - 8] are prepared Compds. of this invention at 0.01% in feed (given for 3 days) gave 34.9% to 66.7% decrease of blood sugar in diabetic KK mice.

300666-00-6P 300666-01-7P 300666-02-8P 300666-05-1P 300666-10-8P 300666-13-1P 300666-14-2P 300666-15-3P 300666-16-4P 300666-17-5P 300666-18-6P 300666-19-7P 300666-20-0P 300666-21-1P 300666-22-2P 300666-27-7P 300666-28-8P 300666-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

RN 300666-00-6 CAPLUS

Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-nitrophenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 300666-01-7 CAPLUS

CN Carbamic acid, [2-amino-5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 300666-02-8 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[[4-[(2,4-dioxo-5thiazolidinyl)methyl]phenoxy]acetyl]amino]phenyl]methyl-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-cH_2$$

300666-05-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[4-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-dihydro-6-(methoxymethoxy)] $\label{lem:tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-\alpha-dimethylethoxy)-\alpha-dimethylethoxy-a$ (2,2,2-trifluoroethoxy)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

300666-10-8 CAPLUS

RN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8- $\texttt{tetramethyl-2H-1-benzopyran-2-yl]methoxy} - 2 - \texttt{[[(1,1-benzopyran-2-yl]methoxy]-2-[[(1,1-benzopyran-2-yl]methoxy]-2-[(1,1-benz$ $\label{lem:condition} \verb|dimethylethoxy| carbonyl] methylamino| phenyl| amino| -2-oxoethoxy| -\alpha-$ [[(4-fluorophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-13-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B.

RN 300666-14-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-15-3 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-nitrophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-16-4 CAPLUS

CN Benzenepropanoic acid, α -(4-cyanophenoxy)-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2- [[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-17-5 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-18-6 CAPLUS

CN Benzenepropanoic acid, $\alpha-[(4-\text{chlorophenyl})\text{thio}]-4-[2-[[4-[[3,4-\text{dihydro-6-(methoxymethoxy})-2,5,7,8-\text{tetramethyl-2H-1-benzopyran-2-yl}]methoxy}-2-[[(1,1-\text{dimethylethoxy})\text{carbonyl}]methylamino}]phenyl]amino}-2-\text{oxoethoxy}-, ethyl ester (9CI) (CA INDEX NAME)}$

PAGE 1-B

RN 300666-19-7 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[[4-(1,1-dimethylethyl)phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-20-0 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -((4-methoxyphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-21-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-22-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-27-7 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-28-8 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(4-nitrophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-31-3 CAPLUS

CN Benzenepropanoic acid, α-[bis(4-fluorophenyl)methoxy]-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{O} \quad \text{Me} \\ \text{I} - \text{BuO} - \text{C} - \text{N} \\ \text{Me} \\ \text{Me} - \text{CH}_2 - \text{O} \\ \text{Me} \end{array}$$

PAGE 1-B

L11 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: 137:79092

TITLE:

A method for thermal generation of aryloxyl radicals at ambient temperatures: application to low-density

lipoprotein (LDL) oxidation

AUTHOR(S):

Paul, Thomas; Ingold, Keith U.

CORPORATE SOURCE:

National Research Council of Canada, Ottawa, ON, K1A

OR6, Can.

SOURCE:

Angewandte Chemie, International Edition (2002),

41(5), 804-806

CODEN: ACIEF5; ISSN: 1433-7851 Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

PUBLISHER: LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 137:79092

The decomposition of aryloxyalkyl hyponitrites, ArOCH2ON:NOCH2OAr (I; Ar = Ph, α -tocopheryl), were measured by 1H-NMR and were found to be almost identical. The Arrhenius parameters for decomposition of I (Ar = Ph) were EA = 106 kJ/mol and log(A/S-1) = 14.8. The expected decomposition pathways for I are outlined. The aryloxy radical-initiated peroxidn. of LDL was chosen to illustrate a biol. relevant in vitro application of I.

IT 440361-13-7P

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(thermal decomposition of; thermal generation of aryloxyl radicals at ambient temps. and its application to low-d. lipoprotein oxidation)

440361-13-7 CAPLUS

CN Hyponitrous acid, bis[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4]4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-A

PAGE 1-B

Me Me Me
$$(CH_2)_3$$
 R $(CH_2)_3$ CHMe2

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:170741 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:179813

TITLE:

Powerful antioxidative agents based on garcinoic acid

from Garcinia kola

AUTHOR(S):

Terashima, Kenji; Takaya, Yoshiaki; Niwa, Masatake

CORPORATE SOURCE:

Faculty of Pharmacy, Meijo University, Tempaku,

Nagoya, 468-8503, Japan

SOURCE:

Bioorganic & Medicinal Chemistry (2002), 10(5),

1619-1625

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Investigation on the structure-antioxidative activity relationships of derivs. based on garcinoic acid from Garcinia kola (Guttiferae) led to discovery of a powerful antioxidative agent. Various chroman compds. based on garcinoic acid were prepared and tested for antioxidative activity. Compound I was 18.7 times more powerful antioxidant than $dl-\alpha-tocopherol$.

IT 449775-65-9P 449775-66-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure activity relations of antioxidant garcinoic acid derivs.)

RN 449775-65-9 CAPLUS

Double bond geometry as shown.

PAGE 1-B

CN

RN 449775-66-0 CAPLUS

2,6,10-Tridecatrien-1-ol, 13-[3,4-dihydro-6-(methoxymethoxy)-2,8-dimethyl-2H-1-benzopyran-2-yl]-2,6,10-trimethyl-, (2E,6E,10E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:63989 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 134:131426

TITLE: Preparation and effect of coumarone analogues as

antitumor agents

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan SOURCE: PCT Int. Appl., 238 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DA	ATE .	APPLICATION NO.	DATE
WO 2001005780	A1 20	0010125	WO 2000-JP4732	20000714
W: AU, BR, CA,	CN, CZ, F	HU, ID, IL,	IN, KR, MX, NO, NZ,	PL, RU, TR,
US, ZA				• • •

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT. SE

JP 2001089468

A2 20010403 JP 2000-213985

JP 2000-213985 20000714 JP 1999-203159 A 19990716

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 134:131426

B Title coumarone analogs [I; wherein Rl is hydrogen, C1-C6 alkyl; R2 is hydrogen, C1-C6 alkyl; R3, R5 are each independently hydrogen, C1-C6 alkyl; R4, R6 are each independently hydroxy, C1-6 alkyl, NH2, acetoxy, methoxymethoxy; X is a single bond, C=O, C=NOR7; R7 and R8 are each independently hydrogen, C1-C6 alkyl, C2-C6 alkenyl; A is C=O, SO2; U is CH2, or the like; Y is O or S; Q is hydrogen, nitro, hydroxyl; p is an integer of 1 to 6; m and n are each independently an integer of 0 to 8; and Arl and Ar2 are each benzene ring or pyridine ring] exhibiting excellent antitumor activities are prepared and formulation are discussed. Thus, title compound II was prepared and tested.

321920-41-6P 321920-54-1P 321920-58-5P 321920-65-4P 321920-95-0P 321921-17-9P

321921-21-5P 321921-30-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and effect of coumarone analogs as antitumor agents)

RN 321920-41-6 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4nitro-2-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321920-54-1 CAPLUS

CN Pyridine, 2-(cyclopentyloxy)-6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{NO}_2 \\ \\ \text{N} \\ \text{NO}_2 \\ \\ \text{N} \\ \text{NO}_2 \\ \\ \text{NO}_2 \\ \\ \text{N} \\ \text{NO}_2 \\ \\ \text{NO}_3 \\ \\ \text{NO}_4 \\ \\ \text{NO}_2 \\ \\ \text{NO}_4 \\ \\ \text{NO}_5 \\ \\ \text$$

RN 321920-58-5 CAPLUS

CN 2H-1-Benzopyran, 2-[(2-chloro-4-nitrophenoxy)methyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{C1} \\ \end{array}$$

RN 321920-65-4 CAPLUS

CN Benzenamine, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 321920-95-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-3-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{O$$

RN 321921-17-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{N-C} & \text{N-C} \\ \text{N-C} \\$$

RN 321921-21-5 CAPLUS

CN Propanamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (9CI) (CA INDEX NAME).

RN 321921-30-6 CAPLUS

CN Carbamic acid, [[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

16

ACCESSION NUMBER:

2000:117059 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

132:171119

TITLE: INVENTOR(S):

Water-soluble prodrugs of hindered alcohols or phenols Stella, Valentino J.; Zygmunt, Jan J.; Georg, Ingrid Gunda; Safadi, Muhammed S.

PATENT ASSIGNEE(S): SOURCE:

University of Kansas, USA PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIN	D :	DATE		APPLICATION NO.						DATE		
WO 20000080	33		A1		2000	0217	1	WO 1	999-1	JS17	779		1	9990	806
W: AE,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
DE,	DK,	EE,	ĖS,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,
JP,	ΚE,	ΚG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,
TM,	TR,	TT,	UΑ,	UG,	UZ,	VN,	YU,	ZA,	zw						
RW: GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,
ES;	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,

	C	CI, (CM,	GΑ,	GN,	GW, M	L, MR,	NE, S	N, TD	, TG					
	620425	57			B1	20	010320	US	1998	-1313	85			19980	807
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AU	769755	5			B2	20	040205								
EP	110277	16			A1	20	010530	ΕP	1999	-9390	30			19990	806
ΕP	110277	16					060308								
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							O, CY								
BR	991285 200100 200252	53			Α	20	011030	BR	1999	-1285	3			19990	806
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	319723								1999-	-9390	30			19990	806
EP	168380								2006-						
EP	R: A	ΑТ, Е	3E,	CH,	DE,	DK, E	S, FR,	GB, G	R, IT,						
	R: A	ΑΤ, Ε Ε, S	BE, SI,	CH, LT,	DE, LV,	DK, ES	S, FR,		R, IT,						
US	R: A I 200102	AT, E E, S 25035	3E, SI, 5	CH, LT,	DE, LV, Al	DK, ES FI, RG 200	S, FR, D, MK, D10927	GB, G CY, A US	R, IT. L	, LI,	LU,	NL,	SE	, MC,	PT,
us us	R: A I 200102 645177	AT, E E, S 25035	BE, SI, 5	CH, LT,	DE, LV, A1 B2	DK, ES FI, RG 200	S, FR, D, MK, D10927 D20917	GB, G CY, A US	R, IT, L 2000-	, LI, -7338	LU, 17	NL,	SE	, MC,	PT,
US US NO	R: A I 200102 645177 200100	AT, I E, S 5035 76	BE, SI, 5	CH, LT,	DE, LV, A1 B2 A	DK, E: FI, RG 200 200 200	5, FR, D, MK, D10927 D20917 D10406	GB, G CY, A US	R, IT, L 2000- 2001-	, LI, -7338 -659	LU, 17	NL,	SE	20001 20010	PT, 208 207
US US NO ZA	R: A 200102 645177 200100 200100	AT, E E, S 2503! 76 0065!	BE, SI, 5	CH, LT,	DE, LV, A1 B2 A	DK, E: FI, RG 200 200 200 200	5, FR, 0, MK, 010927 020917 010406 020205	GB, G CY, A US NO ZA	R, IT, L 2000- 2001- 2001-	, LI, -7338 -659 -1039	LU, 17	NL,	SE	20001 20010 20010	PT, 208 207 207
US US NO ZA US	R: A 200102 645177 200100 200100 200317	AT, E E, S 25035 76 00659 01039	BE, SI, 5	CH, LT,	DE, LV, A1 B2 A A	DK, ES FI, RG 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918	GB, G CY, A US NO ZA US	R, IT, L 2000- 2001-	, LI, -7338 -659 -1039	LU, 17	NL,	SE	20001 20010	PT, 208 207 207
US US NO ZA US	R: A 1 200102 645177 200100 200100 200317 687283	AT, E E, 2 2503! 76 0065! 0103! 7632	BE, SI, 5	CH, LT,	DE, LV, A1 B2 A A A1 B2	DK, ES FI, RG 200 200 200 200 200 200	FR, FR, D10927 D20917 D10406 D20205 D30918 D50329	GB, G CY, A US NO ZA US	2000- 2001- 2001- 2002-	, LI, -7338 -659 -1039 -2086	LU, 17 [°]	NL,	SE	20001 20010 20010 20020	PT, 208 207 207 729
US US NO ZA US US	R: A I 200102 645177 200100 200100 200317 687283 104793	AT, E E, S 25035 76 00655 01035 76324 38	BE, SI, 5 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US	R, IT, L 2000- 2001- 2001- 2002- 2003-	LI, -7338 -659 -1039 -2086	LU, 17 ⁻ 47 06	NL,	SE	20001 20010 20010	PT, 208 207 207 729
US US NO ZA US US HK US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D10927 D20917 D10406 D20205 D30918 D50329	GB, G CY, A US NO ZA US HK US	R, IT, L 2000- 2001- 2001- 2002- 2003- 2004-	LI, -7338 -659 -1039 -2086 -1000 -9913	LU, 17. 47 06 48	NL,	SE	20001 20010 20010 20020 20030 20041	PT, 208 207 207 729 102 117
US US NO ZA US US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US HK US US	R, IT, L 2000- 2001- 2001- 2002- 2003- 2004- 1998-	-659 -1039 -2086 -1000 -9913 -1313	LU, 17 47 06 48 85	NL,	SE A	20001 20010 20010 20020 20030 20041 19980	PT, 208 207 207 729 102 117 807
US US NO ZA US US HK US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US HK US US CN	R, IT, L 2000- 2001- 2001- 2002- 2003- 2004- 1998- 1999-	-059 -1039 -2086 -1000 -9913 -1313 -8114	LU, 17 47 06 48 85 40	NL,	SE A A3	20001 20010 20010 20020 20030 20041 19980 19990	PT, 208 207 207 729 102 117 807 806
US US NO ZA US US HK US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US HK US CN EP	R, IT, L 2000- 2001- 2001- 2002- 2003- 2004- 1998- 1999- 1999-	-659 -1039 -2086 -1000 -9913 -1313 -8114 -9390	LU, 17 47 06 48 85 40 30	NL,	SE A A3 A3	20001 20010 20010 20020 20030 20041 19980 19990	PT, 208 207 207 729 102 117 807 806 806
US US NO ZA US US HK US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US HK US CN EP	R, IT, L 2000- 2001- 2002- 2003- 2004- 1998- 1999- 1999-	-659 -1039 -2086 -1000 -9913 -1313 -8114 -9390	LU, 17 47 06 48 85 40 30 779	NL,	SE A A3 A3 W	20001 20010 20010 20020 20030 20041 19980 19990 19990	PT, 208 207 207 729 102 117 807 806 806 806
US US NO ZA US US HK US	R: A I 200102 645177 200100 200100 200317 687283 104793 200509	AT, E EE, S 25035 76 00655 01035 76324 38 39	BE, SI, 5 9 9	CH, LT,	DE, LV, A1 B2 A A1 B2 A1	DK, ES FI, RG 200 200 200 200 200 200 200	FR, FR, D, MK, D10927 D20917 D10406 D20205 D30918 D50329 D51118	GB, G CY, A US NO ZA US HK US CN CN EP WO US	2000- 2001- 2001- 2002- 2003- 2004- 1998- 1999- 1999- 2000-	-1000 -1313 -2086 -1000 -9913 -1313 -8114 -9390 -US17 -7338	LU, 17 47 06 48 85 40 30 779 17	NL,	SE A A3 A3 W A3	20001 20010 20010 20020 20030 20041 19980 19990	PT, 208 207 207 729 102 117 807 806 806 806 806 208

OTHER SOURCE(S):

MARPAT 132:171119

Water-soluble phosphonooxymethyl esters of drugs containing aliphatic or aromatic hindered OH groups are prepared as prodrugs to improve the bioavailability of the drugs without use of surfactants which lead to severe side effects. Among the drugs thus rendered water soluble are camptothecin, propofol, cyclosporin A, etoposide, and α -tocopherol. Thus, propofol was converted via its O-(methylthio)methyl, O-chloromethyl, and O-phosphonooxymethyl dibenzyl ester derivs. to Ophosphonooxymethylpropofol. This compound had a water solubility of .apprx.500 mg/mL, was nontoxic in rats, was converted to propofol by alkaline phosphatase in vitro, and produced anesthesia in dogs in a similar manner to a com. propofol formulation (Diprivan).

ΙT

258516-36-8P 258516-55-1P 258516-69-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(water-soluble prodrugs of hindered alcs. or phenols)

RN 258516-36-8 CAPLUS

Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- (CH₂)₃-CHMe₂

RN 258516-55-1 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- CHMe2

RN 258516-69-7 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl di-2-propenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-(CH₂)₃-CHMe₂

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 15

1999:753662 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

132:64206

TITLE:

Synthesis of a new antidiabetic medicine 5-[4-[(6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]-benzyl]-2,4-thiazolidinedione

AUTHOR(S):

Wang, Ensi; Duan, Haifeng; Jin, Lei

CORPORATE SOURCE:

College of Life Science, Jilin University, Changchun,

130023, Peop. Rep. China

SOURCE: Jilin Daxue Ziran Kexue Xuebao (1999), (4), 85-90

CODEN: CLTTDI; ISSN: 0529-0279

PUBLISHER: Jilin Daxue Ziran Kexue Xuebao Bianiibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

Troglitazone, 5-[4[(6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]benzyl]-2,4-thiazolidinedione was prepared with 3.3% yield via Meerwein arylation as a pivotal step. The route without high pressure and high temperature may be applied to industrial production

TT

253273-69-7P 253273-70-0P 253273-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 5-[4-((6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]-

benzyl]-2,4-thiazolidinedione as antidiabetic medicine)

253273-69-7 CAPLUS RN

CN Benzenamine, 4-[(3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} \end{array}$$

RN 253273-70-0 CAPLUS

CN Benzenepropanoic acid, α -chloro-4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, ethyl ester (9CI) INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{O} \\ \text{He} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{CH} - \text{C} - \text{OEt} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{O} \\ \text{He} \\ \text{O} \\ \text{O$$

RN 253273-71-1 CAPLUS

CN 4(5H)-Thiazolone, 2-amino-5-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \end{array}$$

L11 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:56538 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 130:129977

TITLE: Nonionic vitamin E derivatives, method for their preparation, and polymeric amphiphilic vesicles

prepared from them

INVENTOR(S): Kim, Young Dae; Lee, Jung No; Kim, Won Chae; Kim, Young Hyun; Kim, Min Ki; Ku, Myoung Su; Cho, Iw Han PATENT ASSIGNEE(S):

Pacific Corp., S. Korea

SOURCE:

Ger. Offen., 10 pp.

DOCUMENT TYPE:

CODEN: GWXXBX

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	·KIND	DATE	APPLICATION NO.	DATE
DE 19747600	`A1	19990114	DE 1997-19747600	19971028
DE 19747600	C2	20010726		
KR 195291	B1	19990615	KR 1997-32412	19970712
JP 11035577	A2	19990209	JP 1997-295644	19971028
JP 3061601	B2	20000710	•	
US 5869703	А	19990209	US 1997-959468	19971028
FR 2765873	A1	19990115	FR 1997-13564	19971029
FR 2765873	B1	20000114		•
CN 1205333	А	19990120	CN 1997-119987	19971030
CN 1083449	В	20020424	:	

PRIORITY APPLN. INFO.:

KR 1997-32412 A 19970712

OTHER SOURCE(S):

CASREACT 130:129977; MARPAT 130:129977

Nonionic and polyethoxylated vitamin E derivs. (I; A = CH2CHMe, CH:CMe; B = Me in 5-, 7-, or 8-position; R = CH2:CR1CO2CH2CH2CMe; R1 = H, CH3; m = CH2:CR1CO2CH2CMe; R1 = H, R1 =1-3) are prepared which polymerize to form amphiphilic, liposome-like vesicles which show excellent thermodn. stability, biocompatibility, and antioxidant, antiinflammatory, and cytoprotectant activity and can be used as liposome substitutes in pharmaceutical and cosmetic prepns. Thus, vitamin E (DL- α -tocopherol) reacted with chloroacetic anhydride to form vitamin E chloroacetate, which was condensed with 2-(dimethylamino)ethyl methacrylate in anhydrous THF at 125° under reflux; the resulting monomer was polymerized under N2 at 65 $^{\circ}$ in the presence of K2S2O8 to form ellipsoidal vesicles with major and minor diams. of 600-2300 and 300-1200 Å, resp. These vesicles were stable at room temperature for ≥ 8 mo and at 45° for > 3 mo.

219855-66-0P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nonionic vitamin E derivs., method for their preparation, and polymeric amphiphilic vesicles prepared from them)

RN 219855-66-0 CAPLUS

Poly(oxy-1,2-ethanediyl), α -[[methyl[2-[(2-methyl-1-oxo-2propenyl)oxy]ethyl]amino]acetyl]- ω -[[(2R)-3,4-dihydro-2,5,7,8tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, rel-, homopolymer (9CI) (CA INDEX NAME)

CM

CRN 219845-10-0

(C2 H4 O)n C38 H63 N O5 CMF

PAGE 1-A

Me_ CH2-CH2-N-

IT 219845-10-0P 219855-68-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nonionic vitamin E derivs., method for their preparation, and polymeric amphiphilic vesicles prepared from them)

219845-10-0 CAPLUS

RN

Poly(oxy-1,2-ethanediyl), α -[[methyl[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]amino]acetyl]- ω -[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 219855-68-2 CAPLUS

Poly(oxy-1,2-ethanediyl), α -(chloroacetyl)- ω -[{(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:710007 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 130:75735

TITLE: Synthesis and biological activity of novel

thiazolidinediones

AUTHOR(S): Prabhakar, C.; Madhusudhan, G.; Sahadev, K.; Reddy,

Ch. Maheedhara; Sarma, M. R.; Reddy, G. Om; Chakrabarti, R.; Rao, C. Seshagiri; Kumar, T. Dileep;

Rajagopalan, R.

CORPORATE SOURCE: Department of Process Research and Development,

Department of Pharmacology, Dr. Reddy's Research

Foundation, Hyderabad, 500 050, India

Bioorganic & Medicinal Chemistry Letters (1998), SOURCE:

8(19), 2725-2730 CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Novel compds. having a dual pharmacophore were synthesized and evaluated for their insulin sensitizer and anti-inflammatory properties in different animal models.

218768-48-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and structure activity of thiazolidinediones as antidiabetic and anti-inflammatory agents)

RN 218768-48-0 CAPLUS

2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-, methanesulfonate (9CI) (CA INDEX NAME)

MeO-CH2-C

Me

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS 16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:150577 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 128:217521

TITLE: Antioxidant effects and synthesis of

fluorine-containing vitamin E derivatives

AUTHOR(S): Koyama, Mayumi; Takaya, Hiroaki; Takagi, Toshiyuki;

Ando, Akira; Kumaka, Takamaru; Sano, Mitsuaki; Tomita,

CORPORATE SOURCE: Fac. Pharm., Setsunan Univ., Japan

SOURCE: Bitamin E Kenkyu no Shinpo (1998), 8, 66-70

CODEN: BKSHFT

PUBLISHER: Kyoritsu Shuppan

DOCUMENT TYPE:

Journal LANGUAGE: Japanese

A total of 6 trifluoromethyl-substituted α -tocopherol derivs. (I; (a) R = R4 = R5 = R6 = Me, R1 = CF3 and R2 = Me or R1 = Me and R2 = CF3, R3 = H; (b) R = CF3, R1 = R2 = R4 = R5 = R6 = Me, R3 = H; (c) R = R1 = R2= R5 = Me, R3 = H, R4 = CF3 and R6 = Me, or R4 = Me and R6 = CF3; (d) R =R1 = R4 = R6 = Me, R2 = R5 = CF3, R3 = H) were prepared and effect of trifluoromethyl substitution on antioxidant activity was studied. Thus, 4-hydroxy-2,5-dimethylphenol was condensed with a terpene alc. HOCH2CH:CMe(CH2)3CHMe(CH2)3CHMe(CH2)3CHMe2 in formic acid to give I (R = R1 = R3 = H, R2 = R4 = R5 = R6 = Me) which was acetylated by Ac2O in pyridine and brominated by Br to give I (R = R2 = R4 = R5 = R6 = Me, R1 = R6)Br, R3 = Ac). The latter compound was coupled with CF3I in the presence of Cu followed by treatment with HCl/MeOH to give I (R = R2 = R4 = R5 = R6 = Me, R1 = CF3, R3 = H) $(7-CF3-\alpha-tocopherol)$. Antioxidant activity of

these derivs. were assayed and, e.g., $7\text{-}CF3\text{-}\alpha\text{-}tocopherol}$ showed IC50

of 2.11+10-1 and 1.91+10-3 M by MI-HPTLC (α -methylindole-high-performance TLC) and brain-TBA (thiobarbituric acid) method, resp., compared to 7.82+10-5 and 5.88+10-5 M, resp., for dl- α -tocopherol. Introduction of a CF3 group to the chroman ring stabilizes α -tocopherol against oxidation due to the electron withdrawing effect of CF3 group and lowers antioxidant activity but is expected to exhibit long lasting effect. Substitution of Me groups on the side chain with CF3 group showed slightly higher antioxidant activity than that of dl- α -tocopherol.

IT 171566-85-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(antioxidant effects and synthesis of trifluoromethyl-substituted vitamin E derivs.)

RN 171566-85-1 CAPLUS

N 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

$-CH_2-CH=CMe_2$

L11 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:150496 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 128:217520

TITLE: Synthesis of α -tocopherol derivatives with 2

trifluoromethyl radicals

AUTHOR(S): Koyama, Mayumi; Takagi, Toshiyuki; Ando, Akira;

Kumakai, Takamaru

CORPORATE SOURCE: Fac. Pharm., Setsunan Univ., Japan

SOURCE: Bitamin E Kenkyu no Shinpo (1996), 6, 1-5

CODEN: BKSHFT

PUBLISHER: Kyoritsu Shuppan

DOCUMENT TYPE: Journal LANGUAGE: Japanese

A total of 9 possible regioisomers of α -tocopherol derivs. having two trifluoromethyl groups [I; e.g., R = H; (1) R1 = R2 = R5 = R6 = Me, R3= R4 = CF3; (2) R1 = R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 =Me, R2 = R6 = CF3, etc.] were prepared by Wittig reaction of [3-(2-chromanyl)propyl]triphenylphosphonium iodide [II; (a) R1 = R2 = Me,R3 = H; (b) R1 = H, R2 = R3 = Me; (c) R1 = R3 = Me, R2 = H, etc.] with terpene ketone R4COCH2CH2CH:CR5CH2CH2CH:CR6Me [(d) R4 = CF3, R5 = R6 = Me; (e) R4 = R6 = Me, R5 = CF3; and (f) R4 = R5 = Me, R6 = CF3] in the presence of a base, hydrogenation of the resulting (III; R1 - R6 = same as above) followed by acetylation to give I [e.g., R = Ac; (1) R1 = R2 = R5 =R6 = Me, R3 = H, R4 = CF3; (2) R1 = H, R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 = Me, R2 = H, R6 = CF3, etc.], halogenation of the latter compds. to give I [e.g., R = Ac; (1) R1 = R2 = R5 = R6 = Me, R3 = X, R4 = CF3; (2) R1 = X, R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 = Me, R2 = X, R6 = CF3, etc.; X = Br, iodo] followed by coupling with CF3I in the presence of Cu in HMPA to give I [e.g., R = Ac; (1) R1 = R2 = R5 = R6= Me, R3 = R4 = CF3; (2) R1 = R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 =R4 = R5 = Me, R2 = R6 = CF3, etc.], and finally acid hydrolysis of the latter acetate (no specific examples given). These derivs. are used to study orientation and mobility of vitamin E in biomembranes by measuring

relaxation time of 19F-NMR. Introduction of a CF3 group in both the chromanol ring and the side chain enables the measurement of relaxation $\frac{1}{2}$ time in both sites in one preparation of liposome and thus provides more accurate comparison on the behavior of the side chain and the chromanol ring in liposome.

ΙT 171566-84-0P 171566-85-1P 171566-86-2P

7/1566-87-3P 171566-88-4P 171566-89-5P 171566-90-8P 171566-91-9P 171566-92-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of α -tocopherol derivs. with 2 trifluoromethyl radicals) RN 171566-84-0 CAPLUS

2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) INDEX NAME)

PAGE 1-B

171566-85-1 CAPLUS 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

— CH2— CH=== CMe2

RN 171566-86-2 CAPLUS · CN 2H-1-Benzopyran, 2-[4,8-dimethyl-12-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 171566-87-3 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-$$
CH $_2$ -CH $=$ CMe $_2$

RN 171566-88-4 CAPLUS

CN 2H-l-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-$$
CH $_2$ -CH $=$ CMe $_2$

RN 171566-89-5 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CZ INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH} = \text{C-}\text{CH}_2\text{-}\text$$

PAGE 1-B

171566-90-8 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11-tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{CH}_2\text{-}$$

PAGE 1-B

$$-$$
CH $_2$ -CH $=$ CMe $_2$

171566-91-9 CAPLUS CN

2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11-tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI)

PAGE 1-A

PAGE 1-B

171566-92-0 CAPLUS RN

2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:103203 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 128:154498

TITLE: Formation of stable polymeric vesicles by

tocopherol-containing amphiphiles

AUTHOR(S): Cho, Iwhan; Kim, Young Dae

CORPORATE SOURCE: Department Advanced Materials Engineering, Korea

Advanced Institute Science Technology, Seoul, 130, S.

SOURCE: Macromolecular Rapid Communications (1998), 19(1),

27-30

CODEN: MRCOE3; ISSN: 1022-1336

PUBLISHER: Huethig & Wepf Verlag

DOCUMENT TYPE: LANGUAGE: English

Polymeric vesicles were obtained by a free radical polymerization of aqueous dispersions of a tocopherol-containing nonionic single-chain amphiphile synthesized by the reaction of O-tocopheryl-oligo(oxyethylene) chloroacetate and 2-(N,N-dimethylamino)ethyl methacrylate. Weight-average molar masses (.hivin.Mw) of the polymeric vesicles estimated by gel permeation chromatog. are in the range of 75,000-115,000. The phase transition temperature (Tc) of the polymeric vesicles is 77°, which is higher than that of the monomeric vesicles, 51°. Transmission electron microscopy photographs show that the polymerization of monomeric vesicles of the tocopherol-containing nonionic single-chain amphiphile leads to the formation of mostly polymeric, elliptic vesicles of distinct morphol. having short axes of $\approx 300-1200$ Å and long axes of $\approx 600-2400$ Å. The polymeric vesicles exhibit an enhanced stability compared with their monomeric counterparts.

202748-08-1DP, reaction products with (dimethylamino)ethyl methacrylate 202748-08-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and vesicles formation of tocopherol-containing amphiphiles)

RN 202748-08-1 CAPLUS

Poly(oxy-1,2-ethanediyl), α -(chloroacetyl)- ω -[(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 202748-08-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(chloroacetyl)- ω -[(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:754352 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

128:82201

TITLE:

Chroman compound and diazo thermal recording material

with improved light resistance using it

INVENTOR(S):

Yamada, Hisao; Matsushita, Tetsunori; Sano, Shojiro

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: J FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09301969	A2	19971125	JP 1996-120528	19960515
PRIORITY APPLN. INFO.:			JP 1996-120528	19960515
OTHER SOURCE (S).	млоолт	128.82201		

The chroman compound comprises I [R = aminocarbonyl, acylamino, aminocarboxy, aminocarbamoyl, sulfonamide, sulfonylaminocarboxy, sulfonylaminocarbamoyl, OH, acyloxy, alkoxycarbonyl, amino; R1-3 = H, halo, (substituted) alkyl, alkoxy, alkylthio; Y = divalent group; Z = atomic group required to form chroman or coumaran ring]. The material has a

heat-sensitive layer containing a diazo compound, a coupler, and I. The material showed improved light resistance.

IT 200701-51-5 200701-52-6 200701-55-9

200701-56-0 200701-57-1

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(chroman compound for coupler of diazo thermal recording material with improved light resistance)

RN 200701-51-5 CAPLUS

CN Acetamide, N,N-dibutyl-2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

─ CHMe2

RN 200701-52-6 CAPLUS

CN Butanamide, N,N-dibutyl-4-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 200701-55-9 CAPLUS

CN Carbamic acid, butyl-, 2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl ester, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 200701-56-0 CAPLUS

Carbamic acid, 1,5-pentanediylbis-, bis[2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl] ester, [2R-[2R*(4R*,8R*),6[R*(4R*,8R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

200701-57-1 CAPLUS RN

CN Hexanamide, 6-[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6yl)oxy]-N, N-dipropyl- (9CI) (CA INDEX NAME)

200701-53-7P IT

RL: DEV (Device component use); MOA (Modifier or additive use); SPN-(Synthetic preparation); PREP (Preparation); USES (Uses)

(chroman compound for coupler of diazo thermal recording material with improved light resistance)

200701-53-7 CAPLUS RN

CN Hexanamide, N,N-dibutyl-6-([3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12- $\label{trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:594559 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

127:234257 Preparation of 3-hydroxy-4-aminomethylpyridine

TITLE:

derivative as Maillard reaction inhibitors

Iyobe, Ryo; Kamata, Koji; Yazaki, Toshikazu; Fujikura,

INVENTOR(S): Hideki; Kasai, Kiyoshi; Harada, Hiroshi; Sato,

Fumiyasu

PATENT ASSIGNEE(S):

Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09221473	A2	19970826	JP 1996-325824	19961030
PRIORITY APPLN. INFO.:			JP 1995-354960 A	19951030
OTHER SOURCE(S):	MARPAT	127:234257		

The title compds. [I; R1 - R3 = H, lower alkyl; A = lower alkylene; B = $\frac{1}{2}$ AΒ alkylene, alkenylene, alkynylene; R = H, OH, lower alkoxy, (un)substituted aryl, aryloxy, cycloalkyl, or heterocyclyl; B-R = aryl optionally having OH or lower alkoxy group as a substituent; Y = 0, S] or pharmacol. acceptable salts thereof are prepared They have different chemical structures as compared to known Maillard reaction inhibitors and are highly safe and are useful as preventives and remedies for Maillard reaction-related diseases such as diabetes complications and aging and also used in cosmetics and foods. Thus, 5-benzyloxymethyl-3-hydroxymethyl-2-methyl-4pyridinecarbaldehyde oxime (preparation given) was reduced by Zn powder in AcOH under stirring with ice-cooling for 2 h to give 4-aminomethyl-5benzyloxymethyl-3-hydroxy-2-methylpyridine (II). In an assay for inhibiting Maillard reaction, II and 4-aminomethyl-3-hydroxy-2-methyl-5octyloxymethylpyridine inhibited the formation of a protein dimer from lysozyme and fructose in 0.5 M sodium phosphate buffer (pH 7.4) by 46.6 and 93.7%, resp., at 0.2 mM and by 96.3 and 95.6%, resp., at 2 mM.

IT 195442-39-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxy(aminomethyl)pyridine derivs. as Maillard reaction inhibitors for prevention and treatment)

RN 195442-39-8 CAPLUS

Pyridine, 4-(azidomethyl)-5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{MeO-CH}_2 - \text{O$$

IT 195442-19-4P 195442-20-7P 195442-21-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxy(aminomethyl)pyridine derivs. as Maillard reaction inhibitors for prevention and treatment)

RN 195442-19-4 CAPLUS

CN 4-Pyridinemethanol, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{HO} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} - \text{CH}_2 - \text{O} \\ \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{Me} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} \\ \text{O} - \text{O} - \text{O} - \text{O} - \text{O} \\ \text{O} - \text$$

RN 195442-20-7 CAPLUS

CN

4-Pyridinemethanamine, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl- (9CI) (CA INDEX NAME)

Me Me
$$CH_2-CH_2-O-CH_2$$
 Me MeO- CH_2-O Me MeO- CH_2-O

195442-21-8 CAPLUS RN

CN 4-Pyridinemethanol, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2methyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L11 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:528056 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 127:230924

TITLE: Synthesis and properties of tocopherol-containing

polymeric vesicle systems

AUTHOR(S): Cho, Iwhan; Kim, Young Dae

CORPORATE SOURCE: Department Advanced Materials Engineering, Korea Advanced Institute Science Technology, Seoul, 130, S.

Korea

SOURCE: Macromolecular Symposia (1997), 118, 631-640

CODEN: MSYMEC; ISSN: 1022-1360

PUBLISHER: Huethig & Wepf

DOCUMENT TYPE: Journal

LANGUAGE: English

Two different tocopherol-containing amphiphilic monomers, {{(tocopheryloxy)carbonyl}-methyl}[2-(methacryloyloxy)ethyl]dimethylammoni um chloride and {[(tocopheryloxy)penta(ethoxy)carbonyl]methyl}{2-(methacryloyloxy)ethyl]dimethylammonium chloride, were synthesized and polymerized The formation of polymeric closed vesicles having diams. of 200-5200 Å was confirmed by electron micrographs, entrapment of [14C] sucrose, permeability measurements, and gel filtration. The polymeric vesicles showed reduced permeability and enhanced thermodn. stability. Antioxidative activities were determined by the thiocyanate method confirming that polymeric tocopherols also exhibited significant activities.

TT 195148-33-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (synthesis and properties of tocopherol-containing polymeric vesicle systems)

RN 195148-33-5 CAPLUS

CN 3,6,9,12,15-Pentaoxaheptadecan-1-aminium, 17-[[(2R)-3,4-dihydro-2,5,7,8tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]- $N, N-dimethyl-N-[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]-2-oxo-, \ chloride$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

• cl-

IT 195148-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and properties of tocopherol-containing polymeric vesicle systems)

RN 195148-39-1 CAPLUS

CN Ethanaminium, 2-[[14-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-3,6,9,12-tetraoxatetradec-1-yl]oxy]-N,N-dimethyl-N-[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]-2-oxo-, chloride, [2R-[2R*(4R*,8R*)]]-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 195148-33-5 CMF C49 H86 N O10 . Cl

Absolute stereochemistry.

195148-40-4 IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and properties of tocopherol-containing polymeric vesicle systems)

RN 195148-40-4 CAPLUS CN

Acetic acid, chloro-, 14-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-3,6,9,12-tetraoxatetradec-1yl ester, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me_

PAGE 1-B

L11 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN 1997:286357 CAPLUS <<LOGINID::20061025>>

ACCESSION NUMBER: DOCUMENT NUMBER:

Preparation of substituted 2,2-dimethyl- ω -

TITLE:

126:263933

phenoxyalkanoic acids and esters and their use as

hypolipemics and hypocholesteremics and as

antioxidants for LDL

INVENTOR(S):

Regnier, Gilbert; Guillonneau, Claude; Vilaine, Jean-Paul; Mahlberg, Florence; Breugnot, Christine

PATENT ASSIGNEE(S): SOURCE:

Adir Et Compagnie, Fr. Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent French

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 763527	A1	19970319	EP 1996-401946	19960912
EP 763527	В1	20000426		

	R: AT,	BE, CH,	DE, DH	, ES, FI	, FR, GE	B, GR, IE,	IT, LI,	LU. NL	. PT. SE
FR 2	2738817		A1	1997032		1995-1073		1995	
FR 2	2738817		В1	1997101	7				
CA 2	2185192		AA	1997031	5 CA	1996-2185	192	1996	0910
CA 2	2185192		С	2001041	7				
AU 9	9665603		A1	1997032	0 AU	1996-6560	3	1996	0912
AU 1	707127		B2	1999070	1				
AT 1	192143		E	2000051	5 AT	1996-4019	46	1996	0912
PT 7	763527		Т	2000083	1 PT	1996-4019	46	1996	0912
ES 2	2147907		тз	2000100	1 ES	1996-4019	46	1996	0912
NO S	9603839		A	1997031	7 NŐ	1996-3839		1996	0913
NO 3	306715		B1	1999121	3			V	
ZA S	9607755		Α	1997041	6 ZA	1996-7755		1996	0913
CN 1	1149046		А	1997050	7 CN	1996-1115	61	1996	0913
CN 1	1064952		В	2001042	5				
US S	5734077		A	1998033	1 US	1996-7136	65	1996	0913
JP (09132547		A2	1997052	0 JP	1996-2446	15	1996	0917
GR 3	3033760		Т3	2000103	1 GR	2000-4014	56	2000	0623
PRIORITY	APPLN. I	INFO.:			FR	1995-1073	1 /	A 1995	0914
OTHER SOL	JRCE(S):		MARPAT	126:263	933 .				
7 D MI			F 17 C			, , ,		, .	_

AB The title compds. I [X = 0, S, bond; A = bond, hydrocarbon chain; B = hydrocarbon chain; R = H, alkyl; R1, R3 = H; R1R3 = (CH2)n (n = 1, 2); R1 = Me, double bond with A; R2, R6 = H, Me; R4, R5 = alkyl; R7 = H, protecting group; Z = H, halo, alkyl, alkoxy] were prepared and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL studied. E.g., reaction of 4-BrCH2CH2C6H40(CH2)3CMe2CO2Et and 3,5,4-(Me3C)2(HO)C6H2SH gave the ester, which was hydrolyzed to 3,5,4-(Me3C)2(HO)C6H2SCH2CH2C6H40(CH2)3CMe2CO2H-4. In protection against oxidation of LDL, I was 10-70 times more effective that the reference compds. probucol and trolox. As hypocholesteremics and hypotriglyceridemics, 6 of the compds. tested were as active as the reference compound bezafibrate.

IT 167213-29-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dimethylphenoxyalkanoic acids and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL)

RN 167213-29-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxaldehyde, 6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

IT 188808-38-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dimethylphenoxyalkanoic acids and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL)

RN 188808-38-0 CAPLUS
CN Pentanoic acid. 5-14

Pentanoic acid, 5-[4-[[4-[6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-3-butenyl]oxy]phenoxy]-2,2-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:208116 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 126:246813

TITLE: Ionizable congeners of aromatic and aliphatic alcohols

as antileukemia agents and cytoprotectants

INVENTOR(S): Fariss, Marc W.

PATENT ASSIGNEE(S): Virginia Commonwealth University, USA

SOURCE: U.S.

U.S., 54 pp., Cont.-in-part of U.S. Ser. 5,336,485.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5610180	À	19970311	US 1994-286994	19940808
US 5198432	A	19930330	US 1991-678110	19910401
US 5336485	Α	19940809	US 1993-28831	19930310
PRIORITY APPLN. INFO.:			US 1988-149762 B	2 19880129
			US 1989-316789 B	2 19890228
			US 1991-678110 A	1 19910401
			US 1993-28831 A	2 19930310
			US 1988-149764 B	2 19880129

AB Ionizable congeners of aromatic and aliphatic alcs. provide potent cytoprotective properties in vivo and in vitro. α -Tocopherol succinate, cholesteryl succinate, cholesteryl sulfate, dihydrocholesterol succinate, dihydrocholesterol sulfate, and ergosterol analogs are particularly good cytoprotective agents. In addition, the tris salts of these compds. have superior cytoprotective properties. Hepatoprotective activity of compds. of the invention is presented. The compds. may be also used for suppressing or preventing lymphoid or myeloid leukemias. Preparation of selected compds., e.g. α -tocopherol monoglutarate, is described.

IT 188577-45-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aromatic and aliphatic alc. ionizable congeners for antileukemia agents and $\,\,^\circ$ cytoprotective agents)

RN 188577-45-9 CAPLUS

CN Poly(oxy-1,2-ethanediy1), $\alpha-[4-[{3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-1-oxobutyl]-<math>\omega$ -hydroxy-(9CI) (CA INDEX NAME)

L11 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:649797 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

125:275907

TITLE:

Preparation of aryloxy(phenylpiperazinyl)propanols

with antiallergic activity

INVENTOR(S):

Ogata, Kazumi; Sakaue, Takahiro; Ito, Kazuhiko; Nakao,

Hidetoshi

PATENT ASSIGNEE(S):

Senju Pharmaceutical Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

j	PA1	ENT	NO.	•		KINI)	DATE		API	PLICAT	ION I	٧٥.			DATE	
-		7350	30			A1	-	1996	1002	FD.	1996-	1047				19960	326
•	-			BE,	CH,					FR, GE				LI,	LU		
			PT,							•			·				·
		2172				AA		1996	0930	CA	1996~	2172	183			19960	320
	-	0832				A2		1996	1210	JP	1996-	6794	1			19960	325
		5981				Α		1999	1109	US	1996-	6220	03		•	19960	326
OR:	ĮΤY	APP.	LN.	INFO	.:						1995-	7098	5		A	19950	329

PRI OTHER SOURCE(S):

MARPAT 125:275907

Title compds. I [R1 = benzene, naphthalene, quinoline, indole, or chroman that may be substituted by alkyl, alkoxy and/or hydroxy; R2, R3 = H, alkyl] were prepared Thus, 2-BrC6H4CO2Et was treated with N-benzylpiperazine, followed by 2-tert-butyl-4-methoxyphenoxymethyloxirane to give I [R1 = 4,2-MeO(Me3C)C6H3, R2 = H, R3 = Et] which was hydrolyzed to the acid. At 100 mg/kg orally in rats I [R1 = 4,2-MeO(Me3C)C6H3, R2 = R3 = H] gave 54.8% inhibition in the palpebral PCA test, cf. diphenhydramine. HCl 37.6 %.

ΙT 182628-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $(preparation\ of\ aryloxy(phenylpiperazinyl) propanols\ with\ antiallergic$ activity)

ŔŃ 182628-92-8 CAPLUS

Benzoic acid, 2-[4-[3-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methoxy]-2-hydroxypropyl}-1piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{OH} \\$$

L11 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:469711 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

125:113929

TITLE:

Formation and utility of sulfonic acid protecting groups for organic synthesis and for improvement of

drug bioavailability

INVENTOR(S):

Roberts, John C.; Patch, Raymond J. Procept, Inc., USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 43 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618609	A1	19960620	WO 1995-US15651	19951130
W: CA, JP, MX				
RW: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IE, IT, LU,	MC, NL, PT, SE
US 5596095	Α	19970121	US 1995-440547	19950512
PRIORITY APPLN. INFO.:			US 1994-353832	A 19941212
			00 1774 333032	V 13341515

OTHER SOURCE(S): MARPAT 125:113929 The present invention is a method of protecting a sulfonic acid functional group in an organic mol. as a substituted or unsubstituted neopentyl sulfonate ester. The method allows the conversion of RSO3H to R'SO3H, wherein R and R' are different organic radicals. Also disclosed is a method of increasing the bioavailability of drugs with a sulfonic acid functional group by protecting the sulfonic acid functional group as a substituted neopentyl sulfonate ester which has a masked heteroatom nucleophile. The masked nucleophile can be liberated in vivo, resulting in removal of the neopentyl protecting group and regeneration of the parent drug. Thus, e.g., HOCH2CMe2CH2CH2NHCO2Bu-tert (I; N-BOC-2,2-dimethyl-4-aminobutyl alc. or Neon-B-OH) was prepared as a neopentyl protecting agent containing a masked nucleophilic heteroatom; treatment of RSO2Cl with I afforded RSO2OCH2CMe2CH2CH2NHCO2Bu-tert (II); liberation of the amino group of II with TFA followed by treatment with NH4OH provided RSO3-NH4+ + 3,3-dimethylpyrrolidine in quant. yield.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(formation and utility of sulfonic acid protecting groups for organic synthesis and for improvement of drug bioavailability)

RN 179419-09-1 CAPLUS CN

2-Naphthalenesulfonic acid, 5.5'-[2-[[2-[[3.4-dihydro-2.5.7.8-tetramethy]-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl]amino]-2oxoethylidene]bis-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AUTHOR(S):

ΤТ

L11 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:902187 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 124:30061

OCCUMENT NUMBER: 124:3006

TITLE: Synthesis of fluorine analogs of vitamin E. IV.

Synthesis of bis(trifluoromethyl)tocopherols Koyama, Mayumi; Takagi, Toshiyuki; Ando, Akira;

Kumadaki, Itsumaro

CORPORATE SOURCE: Fac. Pharmaceutical Sci., Setsunan Univ., Osaka,

573-01, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(9),

1466-74

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:30061

AB Mono(trifluoromethyl)tocopherols, which were used for investigation of the mobility and orientation of tocopherol in liposomes by 19F-NMR were previously synthesized. For more precise investigation of the behavior of vitamin E in liposomes, tocopherols having two trifluoromethyl groups, one on the prenyl side chain and the other on the chromanol ring, were synthesized. Thus, dimethylhydroquinones were treated with 6-chloro-3-methyl-2-hexenol in the presence of zinc chloride to give 2-(3-chloropropyl)trimethylchromanol derivs. These were converted to phosphonium salts, which, upon condensation with trifluoromethylated ketones followed by hydrogenation, gave tocopherols with a trifluoromethyl group on the side chain and a hydrogen on the chromanol part. These were halogenated on the chromanol part and treated with trifluoromethyl iodide and copper powder to give the title compds.

171566-75-9P 171566-76-0P 171566-77-1P 171566-84-0P 171566-85-1P 171566-86-2P 171566-87-3P 171566-88-4P 171566-89-5P

171566-90-8P 171566-91-9P 171566-92-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of bis(trifluoromethyl)tocopherols)

RN 171566-75-9 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl-2-(5,5,5-trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-76-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(5,5,5trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-77-1 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(5,5,5-trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-84-0 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

 $-CH_2-CH=CMe_2$

RN 171566-85-1 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

- CH2- CH= CMe2

RN 171566-86-2 CAPLUS

CN 2H-1-Benzopyran, 2-[4,8-dimethyl-12-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2\text{-}\text{CH}_2\text{-$$

PAGE 1-B

RN 171566-87-3 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

 $-CH_2-CH=CMe_2$

RN 171566-88-4 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

 $-CH_2-CH=-CMe_2$

RN 171566-89-5 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 171566-90-8 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-CH $_2$ -CH=CMe $_2$

RN 171566-91-9 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- CH2- CH= CMe2

RN 171566-92-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2\text{-} \text{CH}_2\text{-}$$

PAGE 1-B

$$\begin{array}{c} \text{Me} \\ | \\ -\text{CH}_2-\text{CH} = \text{C}-\text{CF}_3 \end{array}$$

L11 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:787156 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

123:198785

TITLE:

Preparation of thiazolidine derivatives with aldose

reductase-inhibitory activity

INVENTOR(S):

Yoshioka, Takao; Kitazawa, Eiichi; Kurumada, Tomoyuki;

Fujita, Takeshi; Kanai, Tsutomu; Yamazaki, Mitsuo;

Hasegawa, Kazuo; Horikoshi, Hiroyoshi

PATENT ASSIGNEE(S):

Sankyo Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 187 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07002852	A2	19950106	JP 1994-994	19940110
JP 08002900	B4	19960117		
PRIORITY APPLN. INFO.:			JP 1994-994	19940110
OTHER SOURCE(S):	MARPAT	123:198785		

AB The title compds. [I; R1 = H, (un)substituted aralkyl or cycloalkyl; R2, R6, R7 = H, alkyl; R3 = H, HO-protecting group; R4 = H, alkyl,

(un) substituted aralkyl, cycloalkyl, or aryl, alkoxy; R5 = H, alkyl, alkoxy; R8 = H, (un)substituted alkyl; R9 = (un)substituted alkyl; Ar = (un) substituted bivalent aromatic or heterocyclic group; W = CH2, CO, CH(OR3a), N(OV), N(R3b); wherein R3a = H, HO-protecting group; V = H, (un)substituted alkyl or aralkyl; R3b = HO-protecting in R3a or R3b and U together form a double bond; U = single bond, CH2; or U and W together form a double bond; n = 1-10 integer; Y = 0, NH; Z = 0, NH; when W is CH2, Z may be S, which also have activities for improving the metabolism of blood lipid and sugar and are useful for the treatment of hyperlipidemia, diabetes, and diabetes complications (no data), are prepared Thus, a mixture of 2.1 g Et 3-[4-(6-acetoxy-5,7,8-trimethyl-2-octylchroman-2ylmethoxy)phenyl]-2-chloropropionate, 0.35 g thiourea, and 2.5 mL sulfolane was heated at 120-130° for 7 h to give a 2,4-thiazolidinedione derivative (II; R = H), which was alkylated by tert-Bu bromoacetate in the presence of K2CO3 in acetone at room temperature for 22 h to give a title compound II (R = CH2CO2CMe3).

TT 167630-28-6P 167630-37-7P 167630-38-8P 167630-40-2P 167630-46-8P 167630-49-1P 167630-50-4P 167630-51-5P 167630-52-6P 167630-56-0P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of [[(chromanylalkoxy)heterocyclyl and -aryl]alkyl]thiazolidinedione derivs. as aldose reductase inhibitors) 167630-28-6 CAPLUS

Acetic acid, [[2-[[4-{(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ \end{array}$$

● Na

RN 167630-37-7 CAPLUS
CN Acetic acid, [[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester
(9CI) (CA INDEX NAME)

RN 167630-38-8 CAPLUS

CN Acetic acid, [[2-[[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-pyridinyl]oxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{O} & \text{CH}_2 - \text{O} \\ & \text{EtO-C-CH}_2 - \text{O} & \text{Me} \\ & \text{Me} & \text{O} & \text{CH}_2 - \text{O} \\ & \text{Me} & \text{O} & \text{CH}_2 - \text{O} \\ & \text{N} & \text{CH}_2 - \text{O} & \text{N} \\ & \text{O} & \text{CH}_2 - \text{O} & \text{N} \\ & \text{O} & \text{O} & \text{O} \end{array}$$

RN 167630-40-2 CAPLUS

CN Butanoic acid, 4-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 167630-46-8 CAPLUS

CN Acetic acid, [[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Ho}_2\text{C}-\text{CH}_2-\text{O} \\ \text{Me} \end{array}$$

RN 167630-47-9 CAPLUS

CN Acetic acid, [[2-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-pyridinyl]oxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ \text{Me} \\ \end{array}$$

167630-49-1 CAPLUS

RN

CN Butanoic acid, 4-[[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO}_2\text{C-} \text{(CH}_2\text{)}_3 - \text{O} \\ \text{Me} \end{array}$$

RN 167630-50-4 CAPLUS

CN Acetamide, 2-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{H}_2\text{N}-\text{C}-\text{CH}_2-\text{O} \\ \text{Me} \end{array}$$

RN 167630-51-5 CAPLUS

CN Piperidine, 1-[[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

RN 167630-52-6 CAPLUS

CN Morpholine, 4-[[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI)
(CA INDEX NAME)

RN 167630-56-0 CAPLUS

CN Acetic acid, [[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{O} & \text{CH}_2 - \text{O} \\ & \text{EtO-C-CH}_2 - \text{O} \\ & \text{Me} \end{array}$$

L11 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 199

1995:767387 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

123:169349

TITLE:

Preparation of anticholesteremic, antihyperlipidemic

and antiatherosclerotic substituted (phenoxy)isobutyric acids and esters.

INVENTOR(S):

Regnier, Gilbert; Guillonneau, Claude; Vilaine,

Jean-Paul; Lenaers, Albert; Breugnot, Christine

PATENT ASSIGNEE(S): Adir et Cie., Fr.

SOURCE: Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent French

LANGUAGE:

Fre

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 621255	A1	19941026	EP 1994-400845	19940419
EP 621255	B1	19970820		
R: AT, BE, CH,	DE, DK	, ES, FR, GI	B, GR, IE, IT, LI, LU	, NL, PT, SE
FR 2704224	A1	19941028	FR 1993-4606	19930420
FR 2704224	B1	19950825		
CA 2121571	AA	19941021	CA 1994-2121571	19940418
CA 2121571	С	20000801		
AU 9460533	A1	19941027	AU 1994-60533	19940418
AU 667266	B2	19960314		
US 5512595	A ·	19960430	US 1994-230143	19940419
AT 157077	E	19970915	ÀT 1994-400845	19940419
ES 2105549	Т3	19971016	ES 1994-400845	19940419
JP 06340580	A2	19941213	JP 1994-81869	19940420
JP 2885639	B2	19990426		
ZA 9402728	А	19950209	ZA 1994-2728	19940420
US 5627205	Α	19970506	US 1995-510857	19950803
PRIORITY APPLN. INFO.:			FR 1993-4606	A 19930420
			US 1994-230143	A3 19940419

OTHER SOURCE(S):

MARPAT 123:169349

AB The title compds. [I; A = direct bond, (un)substituted (un)branched C1-9 divalent hydrocarbyl, etc.; R = H, (un)branched (un)substituted C1-6 alkyl; R1= H, Me; R2, R6 = H, Me; R4, R5 = (un)branched C1-6 alkyl; R7 = H, Ac, EtoCH2, PhCH2; X = O, direct bond; Z = H, halogen, alkyl, alkoxy; R1R3 = (CH2)n; n = 1, 2; etc.], useful as anticholesteremics, antihyperlipidemics, and antiatherosclerotics, are prepared Thus, 2-[4-[2-(3,5-di-tert-butyl-4-hydroxyphenylthio)ethyl]phenoxy]isobutyric acid was prepared from 4-hydroxy-3,5-di-tert-butylphenylthiol and demonstrated a IC50 against the peroxidn. of human LDL by endothelial cells of 3 x 10-9 M.

IT 167213-29-8 167213-30-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of anticholesteremic, antihyperlipidemic and antiatherosclerotic substituted (phenoxy)isobutyric acids and esters)

RN 167213-29-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxaldehyde, 6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 167213-30-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-2-propenyl]phenoxy]-2-methyl-, ethyl ester, (Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 167213-33-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anticholesteremic, antihyperlipidemic and

antiatherosclerotic substituted (phenoxy)isobutyric acids and esters)

RN 167213-33-4 CAPLUS

CN Propanoic acid, 2-[4-[6-(6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-5-hexenyl]phenoxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:439562 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 122:265699

TITLE: Synthesis of fluorine analogs of vitamin E. III.

Synthesis of 2-[4,8-dimethyl-12-

(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-

chromanol and 2-[4,12-dimethyl-8-

(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-

chromanol

AUTHOR(S): Koyama, Mayumi; Tamura, Mihoko; Ando, Akira; Kumadaki,

Itsumaro

CORPORATE SOURCE: Faculty Pharmaceutical Sciences, Setsunan University,

Osaka, 573-01, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(10),

2154-6

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265699

AB 2-[4,8-Dimethyl-12-(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-chromanol and 2-[4,12-dimethyl-8-(trifluoromethyl)tridecyl]-2,5,6,8-tetramethyl-6-chromanol, were synthesized by means of the Wittig reaction using the phosphonium salt of 2-(3-chloropropyl)-2,5,7,8-tetramethyl-6-chromanol.

IT $\frac{162827 - 17 - 0P}{162827 - 21 - 6P} \frac{162827 - 18 - 1P}{162827 - 22 - 2P} \frac{162827 - 19 - 2P}{162827 - 23 - 8P}$

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of tridecyltetramethylchromanols)

RN 162827-17-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)-, (E,E,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

__ CF3

RN 162827-18-1 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)-, (Z,E,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162827-19-2 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyltridecyl)- (9CI) (CA INDEX NAME)

RN 162827-21-6 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11 tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-,
 (Z,E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162827-22-7 CAPLUS

2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11-CN tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-, (E,E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

162827-23-8 CAPLUS RN

2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)tridecyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

L11 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

1994:707991 CAPLUS <<LOGINID::20061025>> ACCESSION NUMBER:

DOCUMENT NUMBER: 121:307991

Cosmetic compositions containing quaternary ammonium TITLE:

derivatives of vitamin E

INVENTOR(S): Kim, Young Dea

PATENT ASSIGNEE(S): Pacific Chemical Co. Ltd., S. Korea

Fr. Demande, 30 pp. SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2701478	A1	19940819	FR 1993-1673	19930215
FR 2701478	B1	19951013		
PRIORITY APPLN. INFO.:			FR 1993-1673	19930215
OTHER SOURCE(S):	MARPAT	121:307991		

AΒ Cosmetic compns. containing quaternary ammonium derivs. of vitamin E are prepared This compds. have good dispersibility in water and can be used as surfactants. Polyoxyethylene vitamin E in isopropanol was heated with a 70% solution of 2,3-epoxypropyl trimethylammonium chloride at $55-60^{\circ}$ for 8 h to obtain quaternary ammonium derivs. of vitamin \boldsymbol{E} which was purified and separated The surface tension of the above compound was 58.9 as compared to 37.5 dyne/cm for polyoxyethylene cholesterol.

159189-95-4P 159189-96-5P 159189-97-6P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cosmetic compns. containing quaternary ammonium derivs. of vitamin E)

RN 159189-95-4 CAPLUS

CN 3,6,9,12,15-Pentaoxaoctadecan-18-aminium, 1-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-17-hydroxy-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A

● c1-

PAGE 1-C

- CHMe2

RN 159189-96-5 CAPLUS

CN 3,6,9,12,15,18,21,24-Octaoxaheptacosan-27-aminium, 1-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-26-hydroxy-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-C

RN 159189-97-6 CAPLUS Poly(oxy-1,2-ethanediyl), α -[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]- ω -[2-hydroxy-3-(trimethylammonio)propoxy]-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{3} + \text{N} - \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{OH} \\ \text{Me} \\ \text{M$$

• c1 -

PAGE 1-B